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TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * Welcome to STN International * * * * *

| | | | |
|--------------|----|-----------------|--|
| NEWS | 1 | | Web Page for STN Seminar Schedule - N. America |
| NEWS | 2 | AUG 06 | CAS REGISTRY enhanced with new experimental property tags |
| NEWS | 3 | AUG 06 | FSTA enhanced with new thesaurus edition |
| NEWS | 4 | AUG 13 | CA/CAPplus enhanced with additional kind codes for granted patents |
| NEWS | 5 | AUG 20 | CA/CAPplus enhanced with CAS indexing in pre-1907 records |
| NEWS | 6 | AUG 27 | Full-text patent databases enhanced with predefined patent family display formats from INPADOCDB |
| NEWS | 7 | AUG 27 | USPATOLD now available on STN |
| NEWS | 8 | AUG 28 | CAS REGISTRY enhanced with additional experimental spectral property data |
| NEWS | 9 | SEP 07 | STN AnaVist, Version 2.0, now available with Derwent World Patents Index |
| NEWS | 10 | SEP 13 | FORIS renamed to SOFIS |
| NEWS | 11 | SEP 13 | INPADOCDB enhanced with monthly SDI frequency |
| NEWS | 12 | SEP 17 | CA/CAPplus enhanced with printed CA page images from 1967-1998 |
| NEWS | 13 | SEP 17 | CAPplus coverage extended to include traditional medicine patents |
| NEWS | 14 | SEP 24 | EMBASE, EMBAL, and LEMBASE reloaded with enhancements |
| NEWS | 15 | OCT 02 | CA/CAPplus enhanced with pre-1907 records from Chemisches Zentralblatt |
| NEWS | 16 | OCT 19 | BEILSTEIN updated with new compounds |
| NEWS | 17 | NOV 15 | Derwent Indian patent publication number format enhanced |
| NEWS | 18 | NOV 19 | WPIX enhanced with XML display format |
| NEWS | 19 | NOV 30 | ICSD reloaded with enhancements |
| NEWS | 20 | DEC 04 | LINPADOCDB now available on STN |
| NEWS | 21 | DEC 14 | BEILSTEIN pricing structure to change |
| NEWS | 22 | DEC 17 | USPATOLD added to additional database clusters |
| NEWS | 23 | DEC 17 | IMSDRUGCONF removed from database clusters and STN |
| NEWS | 24 | DEC 17 | DGENE now includes more than 10 million sequences |
| NEWS | 25 | DEC 17 | TOXCENTER enhanced with 2008 MeSH vocabulary in MEDLINE segment |
| NEWS | 26 | DEC 17 | MEDLINE and LMEDLINE updated with 2008 MeSH vocabulary |
| NEWS | 27 | DEC 17 | CA/CAPplus enhanced with new custom IPC display formats |
| NEWS | 28 | DEC 17 | STN Viewer enhanced with full-text patent content from USPATOLD |
| NEWS | 29 | JAN 02 | STN pricing information for 2008 now available |
| NEWS EXPRESS | 19 | SEPTEMBER 2007: | CURRENT WINDOWS VERSION IS V8.2, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 19 SEPTEMBER 2007. |
| NEWS HOURS | | | STN Operating Hours Plus Help Desk Availability |
| NEWS LOGIN | | | Welcome Banner and News Items |
| NEWS IPC8 | | | For general information regarding STN implementation of IPC 8 |

Enter NEWS followed by the item number or name to see news on that specific topic.

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* * * * * STN Columbus * * * * *

FILE 'HOME' ENTERED AT 16:59:54 ON 14 JAN 2008

=> file registry

| COST IN U.S. DOLLARS | SINCE FILE ENTRY | TOTAL SESSION |
|----------------------|---------------------|------------------|
| FULL ESTIMATED COST | 0.21 | 0.21 |

FILE 'REGISTRY' ENTERED AT 17:00:04 ON 14 JAN 2008

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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 13 JAN 2008 HIGHEST RN 960495-31-2

DICTIONARY FILE UPDATES: 13 JAN 2008 HIGHEST RN 960495-31-2

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH June 29, 2007

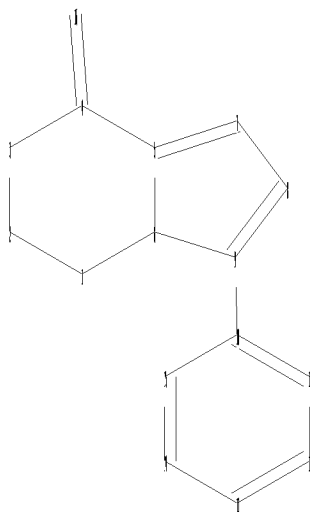
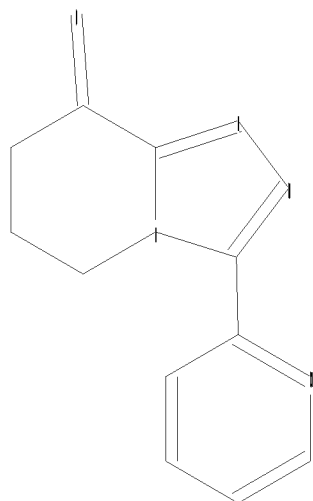
Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stndoc/properties.html>

=>

Uploading C:\Program Files\Stnexp\Queries\10 series\10533152\10533152a.str



```

chain nodes :
16
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 13 14 15
chain bonds :
4-16 9-10
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9 7-8 8-9 10-11 10-15 11-12 12-13 13-14
14-15
exact/norm bonds :
1-2 1-6 2-3 3-4 4-5 4-16 5-6 5-7 6-9 7-8 8-9
exact bonds :
9-10
normalized bonds :
10-11 10-15 11-12 12-13 13-14 14-15

```

```

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:CLASS

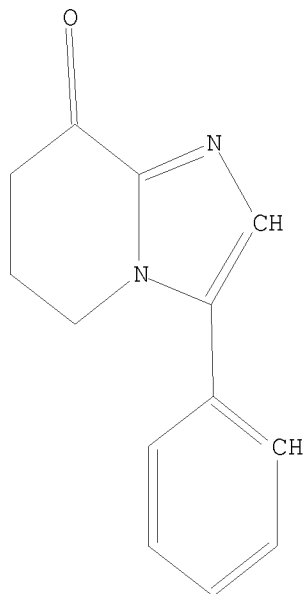
```

L1 STRUCTURE UPLOADED

=> d 11

L1 HAS NO ANSWERS

L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l1

SAMPLE SEARCH INITIATED 17:00:19 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 110 TO ITERATE

100.0% PROCESSED 110 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 1571 TO 2829

PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

=> s l1 full

FULL SEARCH INITIATED 17:00:23 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 2241 TO ITERATE

100.0% PROCESSED 2241 ITERATIONS

1 ANSWERS

SEARCH TIME: 00.00.01

L3 1 SEA SSS FUL L1

=> d l3

L3 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2008 ACS on STN

RN 339566-10-8 REGISTRY

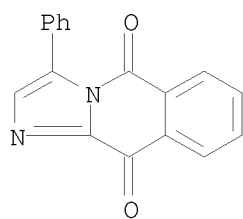
ED Entered STN: 06 Jun 2001

CN Imidazo[1,2-b]isoquinoline-5,10-dione, 3-phenyl- (CA INDEX NAME)

MF C17 H10 N2 O2

SR Reaction Database

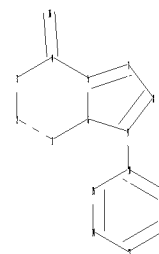
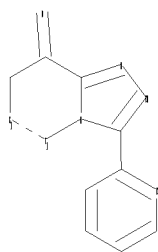
LC STN Files: CASREACT



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

=>

Uploading C:\Program Files\Stnexp\Queries\10 series\10533152\10533152b.str



chain nodes :

16

ring nodes :

1 2 3 4 5 6 7 8 9 10 11 12 13 14 15

chain bonds :

4-16 9-10

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9 7-8 8-9 10-11 10-15 11-12 12-13 13-14
14-15

exact/norm bonds :

1-2 1-6 2-3 3-4 4-5 4-16 5-6 5-7 6-9 7-8 8-9 9-10

normalized bonds :

10-11 10-15 11-12 12-13 13-14 14-15

G1:CH,N

Match level :

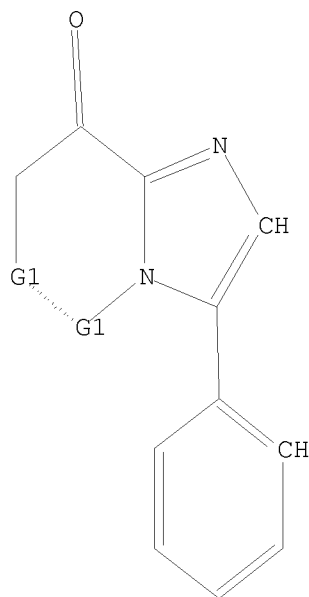
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:CLASS

L4 STRUCTURE UPLOADED

=> d 14

L4 HAS NO ANSWERS

L4 STR



G1 CH,N

Structure attributes must be viewed using STN Express query preparation.

=> s 14

SAMPLE SEARCH INITIATED 17:02:48 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 264 TO ITERATE

100.0% PROCESSED 264 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 4306 TO 6254

PROJECTED ANSWERS: 0 TO 0

L5 0 SEA SSS SAM L4

=> s 14 full

FULL SEARCH INITIATED 17:02:52 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 5294 TO ITERATE

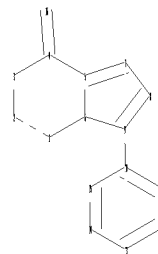
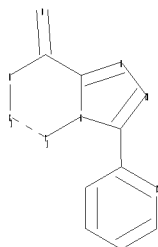
100.0% PROCESSED 5294 ITERATIONS
SEARCH TIME: 00.00.01

0 ANSWERS

L6 0 SEA SSS FUL L4

=>

Uploading C:\Program Files\Stnexp\Queries\10 series\10533152\10533152c.str



chain nodes :

16

ring nodes :

1 2 3 4 5 6 7 8 9 10 11 12 13 14 15

chain bonds :

4-16 9-10

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9 7-8 8-9 10-11 10-15 11-12 12-13 13-14
 14-15
 exact/norm bonds :
 1-2 1-6 2-3 3-4 4-5 4-16 5-6 5-7 6-9 7-8 8-9 9-10
 normalized bonds :
 10-11 10-15 11-12 12-13 13-14 14-15

G1:CH,N

Match level :

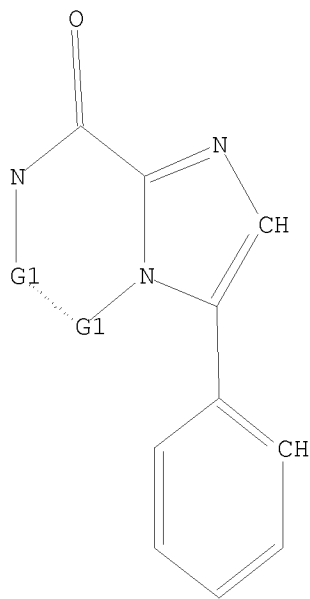
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 11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:CLASS

L7 STRUCTURE UPLOADED

=> d 17

L7 HAS NO ANSWERS

L7 STR



G1 CH,N

Structure attributes must be viewed using STN Express query preparation.

=> s 17

SAMPLE SEARCH INITIATED 17:06:15 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 216 TO ITERATE

100.0% PROCESSED 216 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 3439 TO 5201

PROJECTED ANSWERS: 0 TO 0

L8 0 SEA SSS SAM L7

=> s 17 full

FULL SEARCH INITIATED 17:06:19 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 4324 TO ITERATE

100.0% PROCESSED 4324 ITERATIONS

35 ANSWERS

SEARCH TIME: 00.00.01

L9 35 SEA SSS FUL L7

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

540.76

540.97

FILE 'CAPLUS' ENTERED AT 17:06:26 ON 14 JAN 2008

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FILE COVERS 1907 - 14 Jan 2008 VOL 148 ISS 3

FILE LAST UPDATED: 13 Jan 2008 (20080113/ED)

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<http://www.cas.org/infopolicy.html>

=> s 19

L10 3 L9

=> s 19 and pd<=20021106

3 L9

22840688 PD<=20021106

(PD<=20021106)

L11 0 L9 AND PD<=20021106

=> d l10 1-3 ibib abs hitstr

L10 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:128501 CAPLUS

DOCUMENT NUMBER: 144:343043

TITLE: Imidazo[1,2-a]pyrazin-8-ones, imidazo[1,2-

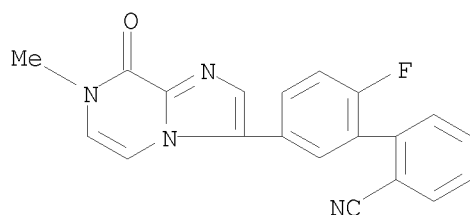
d][1,2,4]triazin-8-ones and imidazo[2,1-

f][1,2,4]triazin-8-ones as α 2/ α 3 subtype

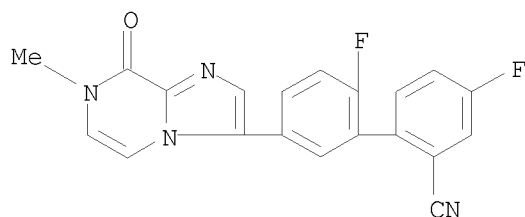
selective GABAA agonists for the treatment of anxiety

AUTHOR(S): Goodacre, Simon C.; Hallett, David J.; Carling, Robert W.; Castro, Jose L.; Reynolds, David S.; Pike, Andrew;

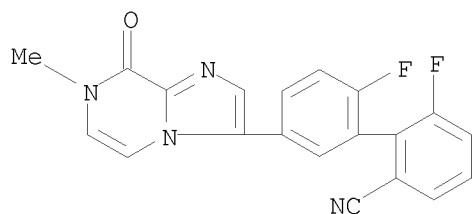
Wafford, Keith A.; Newman, Robert; Atack, John R.;
Street, Leslie J.
CORPORATE SOURCE: Neuroscience Research Centre, Merck, Sharp and Dohme
Research Laboratories, Harlow, Essex, CM20 2QR, UK
SOURCE: Bioorganic & Medicinal Chemistry Letters (2006),
16(6), 1582-1585
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 144:343043
AB Imidazo[1,2-a]pyrazin-8-ones, imidazo[1,2-d][1,2,4]triazin-8-ones and
imidazo[2,1-f][1,2,4]triazin-8-ones are high affinity GABAA agonists.
Compound 16d has good oral bioavailability in rat, functional selectivity
for the GABAA α 2 and α 3-subtypes and is anxiolytic in a
conditioned animal model of anxiety with minimal sedation observed at full BZ
binding site occupancy.
IT 689296-91-1P 689297-04-9P 689297-17-4P
689297-19-6P 689297-55-0P 798570-60-2P
881743-79-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)
(α 2/ α 3 subtype selective GABAA agonists for the treatment
of anxiety)
RN 689296-91-1 CAPLUS
CN [1,1'-Biphenyl]-2-carbonitrile, 5'-(7,8-dihydro-7-methyl-8-oxoimidazo[1,2-
a]pyrazin-3-yl)-2'-fluoro- (CA INDEX NAME)



RN 689297-04-9 CAPLUS
CN [1,1'-Biphenyl]-2-carbonitrile, 5'-(7,8-dihydro-7-methyl-8-oxoimidazo[1,2-
a]pyrazin-3-yl)-2',4-difluoro- (CA INDEX NAME)

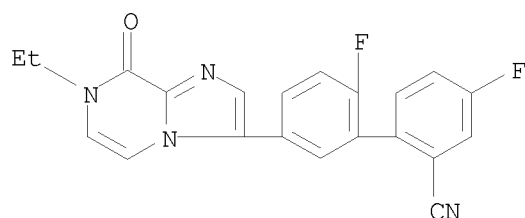


RN 689297-17-4 CAPLUS
CN [1,1'-Biphenyl]-2-carbonitrile, 5'-(7,8-dihydro-7-methyl-8-oxoimidazo[1,2-
a]pyrazin-3-yl)-2',6-difluoro- (CA INDEX NAME)



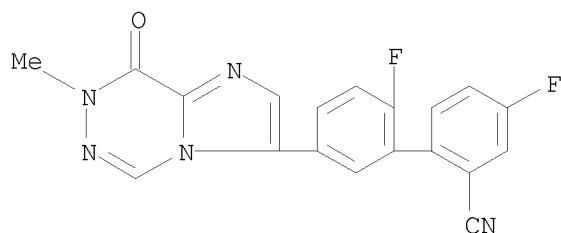
RN 689297-19-6 CAPLUS

CN [1,1'-Biphenyl]-2-carbonitrile, 5'-(7-ethyl-7,8-dihydro-8-oxoimidazo[1,2-a]pyrazin-3-yl)-2',4-difluoro- (CA INDEX NAME)



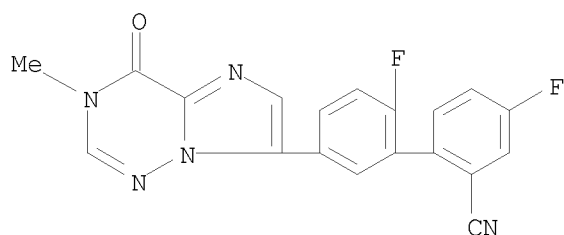
RN 689297-55-0 CAPLUS

CN [1,1'-Biphenyl]-2-carbonitrile, 5'-(7,8-dihydro-7-methyl-8-oxoimidazo[1,2-d][1,2,4]triazin-3-yl)-2',4-difluoro- (CA INDEX NAME)



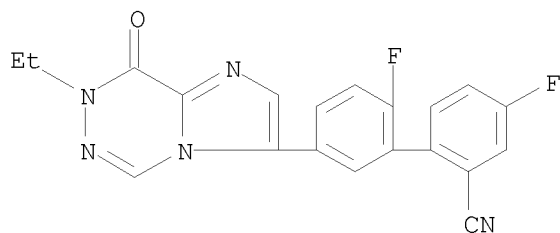
RN 798570-60-2 CAPLUS

CN [1,1'-Biphenyl]-2-carbonitrile, 5'-(3,4-dihydro-3-methyl-4-oxoimidazo[2,1-f][1,2,4]triazin-7-yl)-2',4-difluoro- (CA INDEX NAME)

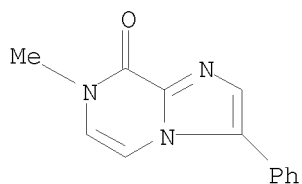


RN 881743-79-9 CAPLUS

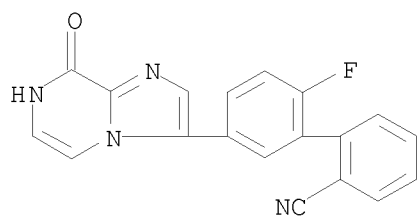
CN [1,1'-Biphenyl]-2-carbonitrile, 5'-(7-ethyl-7,8-dihydro-8-oxoimidazo[1,2-d][1,2,4]triazin-3-yl)-2',4-difluoro- (CA INDEX NAME)



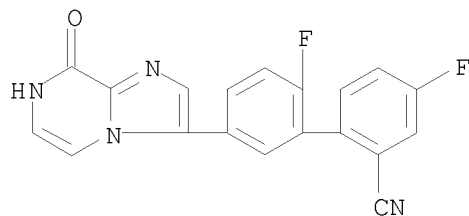
IT 881743-74-4
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
 (Biological study); USES (Uses)
 ($\alpha 2/\alpha 3$ subtype selective GABAA agonists for the treatment
 of anxiety)
 RN 881743-74-4 CAPLUS
 CN Imidazo[1,2-a]pyrazin-8(7H)-one, 7-methyl-3-phenyl- (CA INDEX NAME)



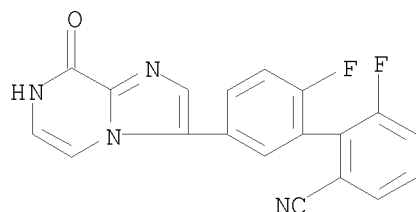
IT 689297-65-2P 689297-98-1P 918544-48-6P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 ($\alpha 2/\alpha 3$ subtype selective GABAA agonists for the treatment
 of anxiety)
 RN 689297-65-2 CAPLUS
 CN [1,1'-Biphenyl]-2-carbonitrile, 5'-(7,8-dihydro-8-oxoimidazo[1,2-a]pyrazin-
 3-yl)-2'-fluoro- (CA INDEX NAME)



RN 689297-98-1 CAPLUS
 CN [1,1'-Biphenyl]-2-carbonitrile, 5'-(7,8-dihydro-8-oxoimidazo[1,2-a]pyrazin-
 3-yl)-2',4-difluoro- (CA INDEX NAME)



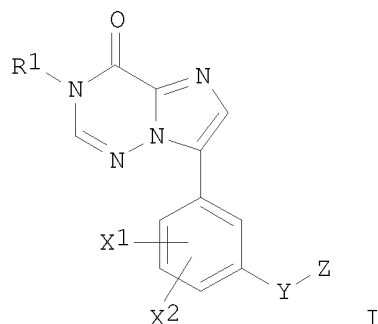
RN 918544-48-6 CAPLUS
 CN [1,1'-Biphenyl]-2-carbonitrile, 5'-(7,8-dihydro-8-oxoimidazo[1,2-a]pyrazin-3-yl)-2',6-difluoro- (CA INDEX NAME)



REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2004:1019775 CAPLUS
 DOCUMENT NUMBER: 142:6561
 TITLE: Preparation of imidazotriazinones as ligands for GABA receptors for treating anxiety, convulsions or cognitive disorders
 INVENTOR(S): Goodacre, Simon Charles
 PATENT ASSIGNEE(S): Merck Sharp & Dohme Ltd., UK
 SOURCE: U.S. Pat. Appl. Publ., 10 pp.
 CODEN: USXXCO
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|-----------------|----------|-----------------|------------|
| US 2004235844 | A1 | 20041125 | US 2004-848461 | 20040518 |
| US 6914060 | B2 | 20050705 | | |
| PRIORITY APPLN. INFO.: | | | GB 2003-11859 | A 20030522 |
| OTHER SOURCE(S): | MARPAT 142:6561 | | | |
| GI | | | | |

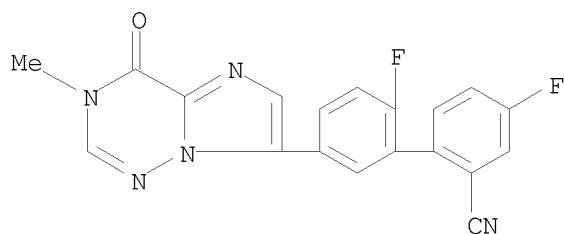


AB The title compds. [I; X1 = H, halo, alkyl, CF₃, alkoxy; X2 = H, halo; Y = a bond, O, NH; Z = (un)substituted (hetero)aryl; R1 = alkyl, heterocyclyl, CF₃, etc.], being ligands for GABAA receptors and accordingly of benefit in the therapy of deleterious neurol. disorders, were prepared E.g., a 5-step synthesis of 4,2'-difluoro-5'-(3-methyl-4-oxo-3,4-dihydroimidazo[2,1-f][1,2,4]triazin-7-yl)biphenyl-2-carbonitrile, starting from 4-methyl-3-thiosemicarbazide, which showed K_i of ≤ 100 nM for displacement of [3H]-flumazenil from the α₂ and/or α₃ and/or α₅ subunit of the human GABAA receptor, was given. The pharmaceutical composition comprising the compound I is disclosed.

IT 798570-60-2P, 4,2'-Difluoro-5'-(3-methyl-4-oxo-3,4-dihydroimidazo[2,1-f][1,2,4]triazin-7-yl)biphenyl-2-carbonitrile
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of imidazotriazinones as ligands for GABA receptors)

RN 798570-60-2 CAPLUS

CN [1,1'-Biphenyl]-2-carbonitrile, 5'-(3,4-dihydro-3-methyl-4-oxoimidazo[2,1-f][1,2,4]triazin-7-yl)-2',4-difluoro- (CA INDEX NAME)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:412949 CAPLUS

DOCUMENT NUMBER: 140:406827

TITLE: Preparation of imidazopyrazinone and imidazotriazinone derivatives as GABAA receptor ligands

INVENTOR(S): Carling, William Robert; Castro Pineiro, Jose Luis; Goodacre, Simon Charles; Hallett, David James; Street, Leslie Joseph

PATENT ASSIGNEE(S): Merck Sharp & Dohme Limited, UK

SOURCE: PCT Int. Appl., 49 pp.
 CODEN: PIXXD2

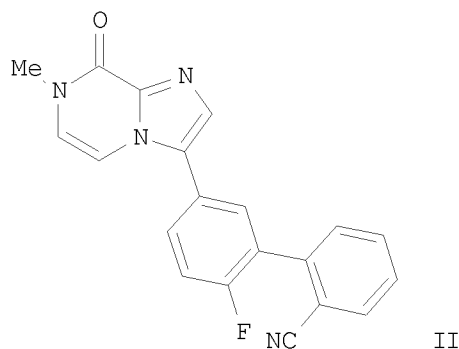
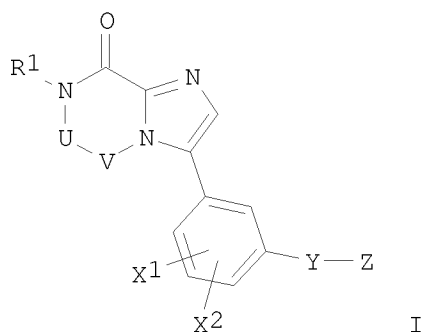
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|------------|
| WO 2004041826 | A1 | 20040521 | WO 2003-GB4685 | 20031029 |
| WO 2004041826 | A8 | 20050630 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| AU 2003278377 | A1 | 20040607 | AU 2003-278377 | 20031029 |
| US 2006014744 | A1 | 20060119 | US 2005-533152 | 20050427 |
| PRIORITY APPLN. INFO.: | | | | |
| | | | GB 2002-25923 | A 20021106 |
| | | | GB 2003-2529 | A 20030204 |
| | | | GB 2003-4415 | A 20030226 |
| | | | GB 2003-13646 | A 20030612 |
| | | | WO 2003-GB4685 | W 20031029 |
| OTHER SOURCE(S): | | | | |
| GI | | | | |
| MARPAT 140:406827 | | | | |



AB The title compds. I [-U-V- = -CH=CH-, -CH₂-CH₂-, -N=CH-, or -CH=N-; X1 = H, halo, alkyl, CF₃, or alkoxy; X2 = H or halo; Y = a bond, O, -NH-, -OCH₂-; Z = (substituted)aryl or (substituted)heteroaryl; R1 = alkyl, heterocyclyl, CF₃, -SO₂Ra, -SO₂NRaRb, -CORa, or -CONRaRb; Ra, Rb = H,

alkyl, heterocyclcyl] were prepared as as GABAA receptor ligands for treating and/or preventing anxiety, convulsions or a cognitive disorder. Thus, reaction of 3-bromo-8-methoxyimidazo[1,2-a]pyrazine (preparation given) and 2'-fluoro-5'-(4,4,5,5-tetramethyl-[1,3,2]dioxaborolan-2-yl)-biphenyl-2-carbonitrile following by heating in HBr and methylation afforded compound II.

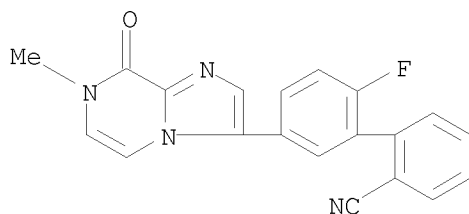
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 689297-53-8P 689297-55-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of imidazopyrazinone and imidazotriazinone derivs. as GABAA receptor ligands)

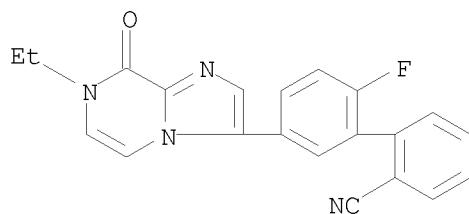
RN 689296-91-1 CAPLUS

CN [1,1'-Biphenyl]-2-carbonitrile, 5'-(7,8-dihydro-7-methyl-8-oxoimidazo[1,2-a]pyrazin-3-yl)-2'-fluoro- (CA INDEX NAME)



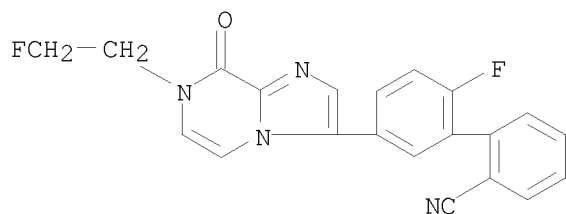
RN 689296-94-4 CAPLUS

CN [1,1'-Biphenyl]-2-carbonitrile, 5'-(7-ethyl-7,8-dihydro-8-oxoimidazo[1,2-a]pyrazin-3-yl)-2'-fluoro- (CA INDEX NAME)



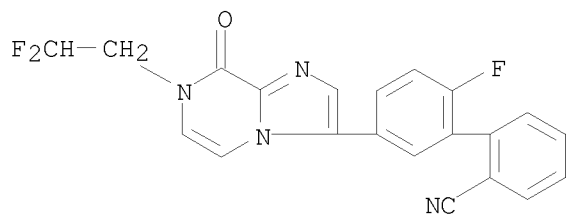
RN 689296-98-8 CAPLUS

CN [1,1'-Biphenyl]-2-carbonitrile, 2'-fluoro-5'-[7-(2-fluoroethyl)-7,8-dihydro-8-oxoimidazo[1,2-a]pyrazin-3-yl]- (CA INDEX NAME)



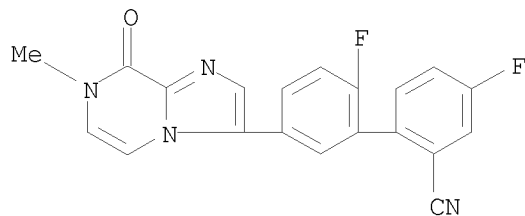
RN 689297-01-6 CAPLUS

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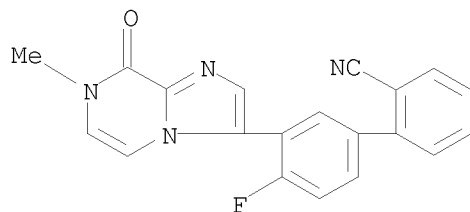
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CN [1,1'-Biphenyl]-2-carbonitrile, 5'-(7,8-dihydro-7-methyl-8-oxoimidazo[1,2-a]pyrazin-3-yl)-2',4-difluoro- (CA INDEX NAME)



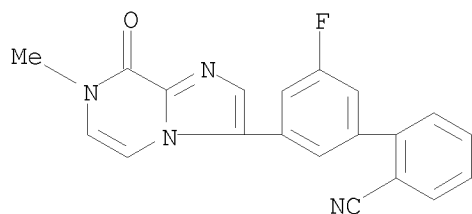
RN 689297-07-2 CAPLUS

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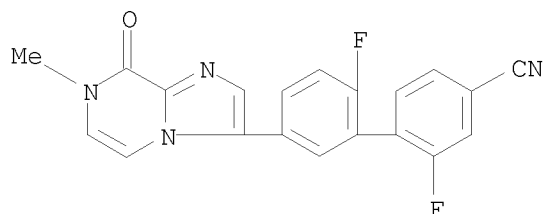
RN 689297-10-7 CAPLUS

CN [1,1'-Biphenyl]-2-carbonitrile, 3'-(7,8-dihydro-7-methyl-8-oxoimidazo[1,2-a]pyrazin-3-yl)-5'-fluoro- (CA INDEX NAME)



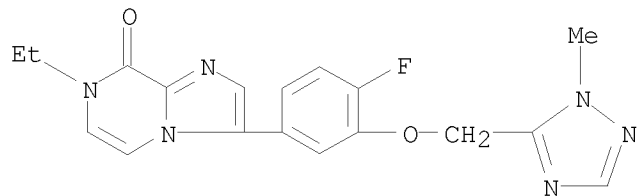
RN 689297-13-0 CAPLUS

CN [1,1'-Biphenyl]-4-carbonitrile, 5'-(7,8-dihydro-7-methyl-8-oxoimidazo[1,2-a]pyrazin-3-yl)-2,2'-difluoro- (CA INDEX NAME)



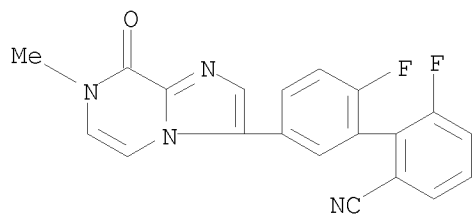
RN 689297-15-2 CAPLUS

CN Imidazo[1,2-a]pyrazin-8(7H)-one, 7-ethyl-3-[4-fluoro-3-[(1-methyl-1H-1,2,4-triazol-5-yl)methoxy]phenyl]- (CA INDEX NAME)



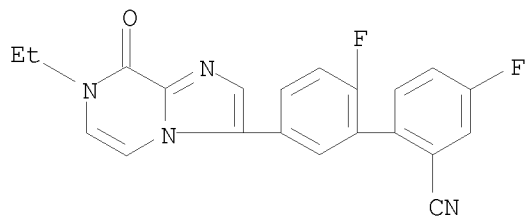
RN 689297-17-4 CAPLUS

CN [1,1'-Biphenyl]-2-carbonitrile, 5'-(7,8-dihydro-7-methyl-8-oxoimidazo[1,2-a]pyrazin-3-yl)-2',6-difluoro- (CA INDEX NAME)



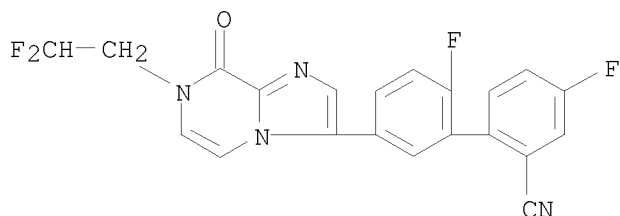
RN 689297-19-6 CAPLUS

CN [1,1'-Biphenyl]-2-carbonitrile, 5'-(7-ethyl-7,8-dihydro-8-oxoimidazo[1,2-a]pyrazin-3-yl)-2',4-difluoro- (CA INDEX NAME)



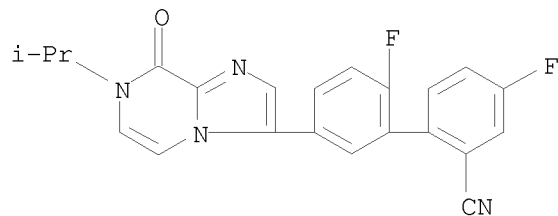
RN 689297-21-0 CAPLUS

CN [1,1'-Biphenyl]-2-carbonitrile, 5'-[7-(2,2-difluoroethyl)-7,8-dihydro-8-oxoimidazo[1,2-a]pyrazin-3-yl]-2',4-difluoro- (CA INDEX NAME)



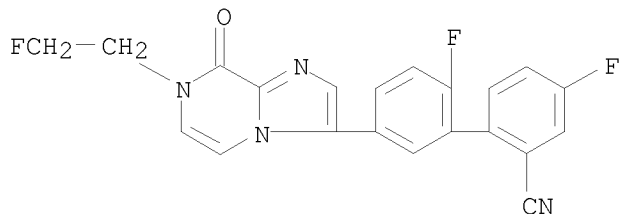
RN 689297-23-2 CAPLUS

CN [1,1'-Biphenyl]-2-carbonitrile, 5'-[7,8-dihydro-7-(1-methylethyl)-8-oxoimidazo[1,2-a]pyrazin-3-yl]-2',4-difluoro- (CA INDEX NAME)



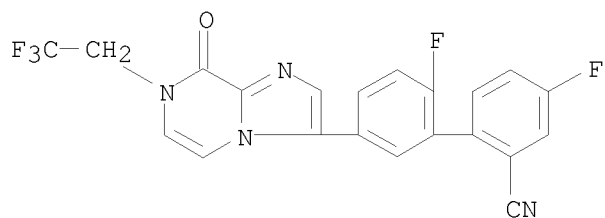
RN 689297-25-4 CAPLUS

CN [1,1'-Biphenyl]-2-carbonitrile, 2',4-difluoro-5'-[7-(2-fluoroethyl)-7,8-dihydro-8-oxoimidazo[1,2-a]pyrazin-3-yl]- (CA INDEX NAME)

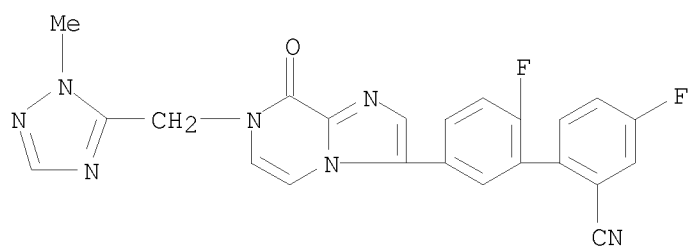


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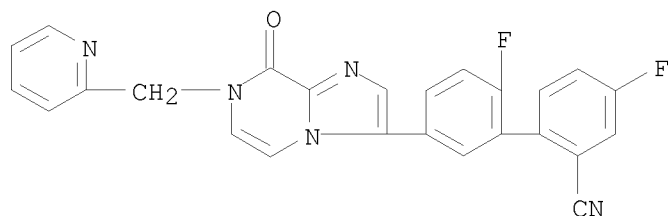
CN [1,1'-Biphenyl]-2-carbonitrile, 5'-[7,8-dihydro-8-oxo-7-(2,2,2-trifluoroethyl)imidazo[1,2-a]pyrazin-3-yl]-2',4-difluoro- (CA INDEX NAME)



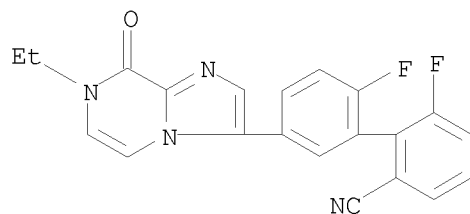
RN 689297-29-8 CAPLUS
 CN [1,1'-Biphenyl]-2-carbonitrile, 5'-[7,8-dihydro-7-[(1-methyl-1H-1,2,4-triazol-5-yl)methyl]-8-oxoimidazo[1,2-a]pyrazin-3-yl]-2',4-difluoro- (CA INDEX NAME)



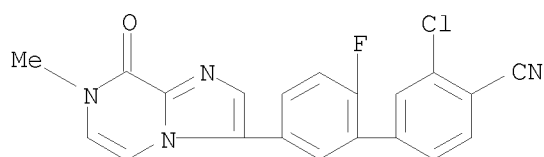
RN 689297-31-2 CAPLUS
 CN [1,1'-Biphenyl]-2-carbonitrile, 5'-[7,8-dihydro-8-oxo-7-(2-pyridinylmethyl)imidazo[1,2-a]pyrazin-3-yl]-2',4-difluoro- (CA INDEX NAME)



RN 689297-33-4 CAPLUS
 CN [1,1'-Biphenyl]-2-carbonitrile, 5'-(7-ethyl-7,8-dihydro-8-oxoimidazo[1,2-a]pyrazin-3-yl)-2',6-difluoro- (CA INDEX NAME)

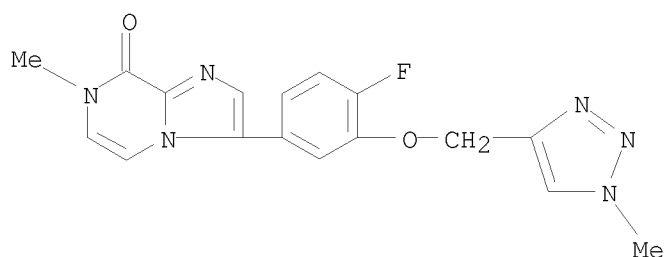


RN 689297-35-6 CAPLUS
 CN [1,1'-Biphenyl]-4-carbonitrile, 3-chloro-5'-(7,8-dihydro-7-methyl-8-oxoimidazo[1,2-a]pyrazin-3-yl)-2'-fluoro- (CA INDEX NAME)



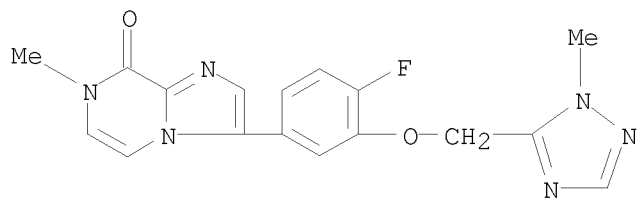
RN 689297-37-8 CAPLUS

CN Imidazo[1,2-a]pyrazin-8(7H)-one, 3-[4-fluoro-3-[(1-methyl-1H-1,2,3-triazol-4-yl)methoxy]phenyl]-7-methyl- (CA INDEX NAME)



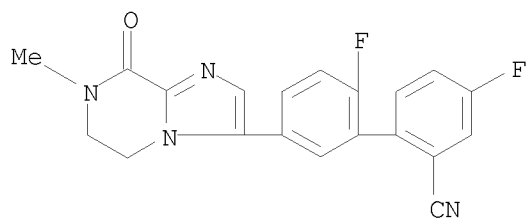
RN 689297-39-0 CAPLUS

CN Imidazo[1,2-a]pyrazin-8(7H)-one, 3-[4-fluoro-3-[(1-methyl-1H-1,2,4-triazol-5-yl)methoxy]phenyl]-7-methyl- (CA INDEX NAME)



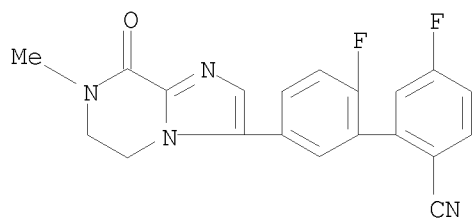
RN 689297-41-4 CAPLUS

CN [1,1'-Biphenyl]-2-carbonitrile, 2',4-difluoro-5'-(5,6,7,8-tetrahydro-7-methyl-8-oxoimidazo[1,2-a]pyrazin-3-yl)- (CA INDEX NAME)

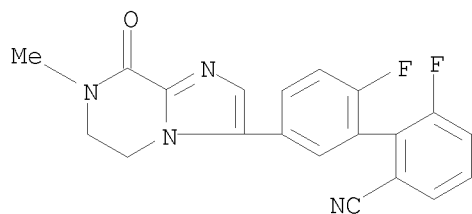


RN 689297-43-6 CAPLUS

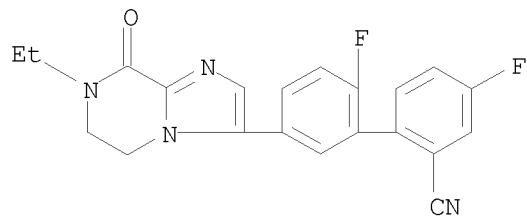
CN [1,1'-Biphenyl]-2-carbonitrile, 2',5-difluoro-5'-(5,6,7,8-tetrahydro-7-methyl-8-oxoimidazo[1,2-a]pyrazin-3-yl)- (CA INDEX NAME)



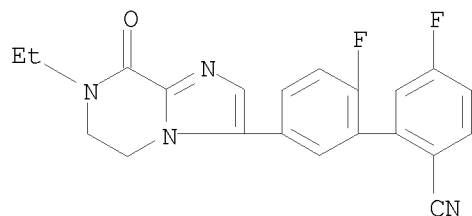
RN 689297-45-8 CAPLUS
 CN [1,1'-Biphenyl]-2-carbonitrile, 2',6-difluoro-5'-(5,6,7,8-tetrahydro-7-methyl-8-oxoimidazo[1,2-a]pyrazin-3-yl)- (CA INDEX NAME)



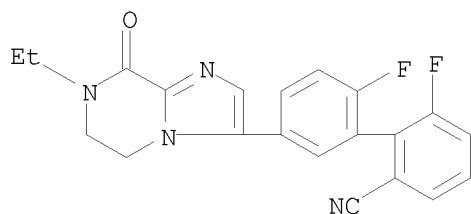
RN 689297-47-0 CAPLUS
 CN [1,1'-Biphenyl]-2-carbonitrile, 5'-(7-ethyl-5,6,7,8-tetrahydro-8-oxoimidazo[1,2-a]pyrazin-3-yl)-2',4-difluoro- (CA INDEX NAME)



RN 689297-49-2 CAPLUS
 CN [1,1'-Biphenyl]-2-carbonitrile, 5'-(7-ethyl-5,6,7,8-tetrahydro-8-oxoimidazo[1,2-a]pyrazin-3-yl)-2',5-difluoro- (CA INDEX NAME)

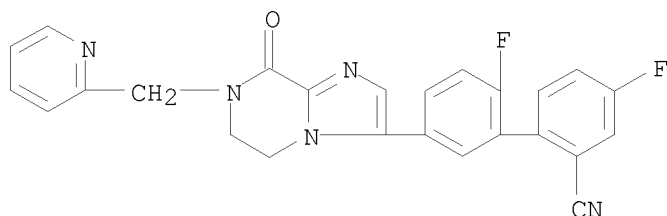


RN 689297-51-6 CAPLUS
 CN [1,1'-Biphenyl]-2-carbonitrile, 5'-(7-ethyl-5,6,7,8-tetrahydro-8-oxoimidazo[1,2-a]pyrazin-3-yl)-2',6-difluoro- (CA INDEX NAME)



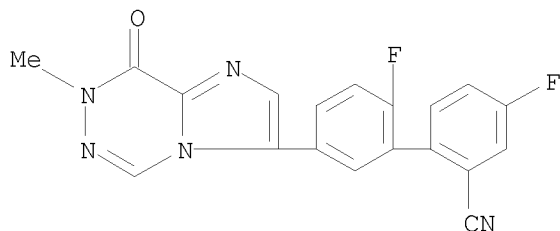
RN 689297-53-8 CAPLUS

CN [1,1'-Biphenyl]-2-carbonitrile, 2',4-difluoro-5'-[5,6,7,8-tetrahydro-8-oxo-7-(2-pyridinylmethyl)imidazo[1,2-a]pyrazin-3-yl]- (CA INDEX NAME)



RN 689297-55-0 CAPLUS

CN [1,1'-Biphenyl]-2-carbonitrile, 5'-(7,8-dihydro-7-methyl-8-oxoimidazo[1,2-d][1,2,4]triazin-3-yl)-2',4-difluoro- (CA INDEX NAME)



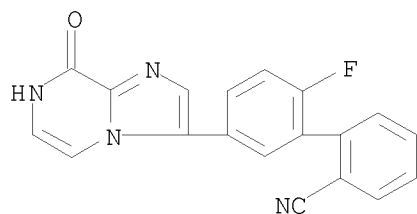
IT 689297-65-2P 689297-98-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of imidazopyrazinone and imidazotriazinone derivs. as GABAA receptor ligands)

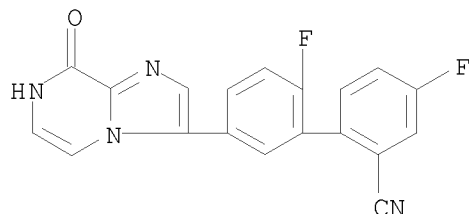
RN 689297-65-2 CAPLUS

CN [1,1'-Biphenyl]-2-carbonitrile, 5'-(7,8-dihydro-8-oxoimidazo[1,2-a]pyrazin-3-yl)-2'-fluoro- (CA INDEX NAME)



RN 689297-98-1 CAPLUS

CN [1,1'-Biphenyl]-2-carbonitrile, 5'-(7,8-dihydro-8-oxoimidazo[1,2-a]pyrazin-3-yl)-2',4-difluoro- (CA INDEX NAME)



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| NEWS | 3 | OCT 19 | BEILSTEIN updated with new compounds |
| NEWS | 4 | NOV 15 | Derwent Indian patent publication number format enhanced |
| NEWS | 5 | NOV 19 | WPIX enhanced with XML display format |
| NEWS | 6 | NOV 30 | ICSD reloaded with enhancements |
| NEWS | 7 | DEC 04 | LINPADOCDB now available on STN |
| NEWS | 8 | DEC 14 | BEILSTEIN pricing structure to change |
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| NEWS | 15 | DEC 17 | STN Viewer enhanced with full-text patent content from USPATOLD |
| NEWS | 16 | JAN 02 | STN pricing information for 2008 now available |
| NEWS | 17 | JAN 16 | CAS patent coverage enhanced to include exemplified prophetic substances |
| NEWS | 18 | JAN 28 | USPATFULL, USPAT2, and USPATOLD enhanced with new custom IPC display formats |
| NEWS | 19 | JAN 28 | MARPAT searching enhanced |
| NEWS | 20 | JAN 28 | USGENE now provides USPTO sequence data within 3 days of publication |
| NEWS | 21 | JAN 28 | TOXCENTER enhanced with reloaded MEDLINE segment |
| NEWS | 22 | JAN 28 | MEDLINE and LMEALINE reloaded with enhancements |
| NEWS | 23 | FEB 08 | STN Express, Version 8.3, now available |
| NEWS | 24 | FEB 20 | PCI now available as a replacement to DPCI |

NEWS 25 FEB 25 IFIREF reloaded with enhancements
NEWS 26 FEB 25 IMSPRODUCT reloaded with enhancements
NEWS 27 FEB 29 WPINDEX/WPIDS/WPIX enhanced with ECLA and current
U.S. National Patent Classification

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DICTIONARY FILE UPDATES: 11 MAR 2008 HIGHEST RN 1007457-12-6

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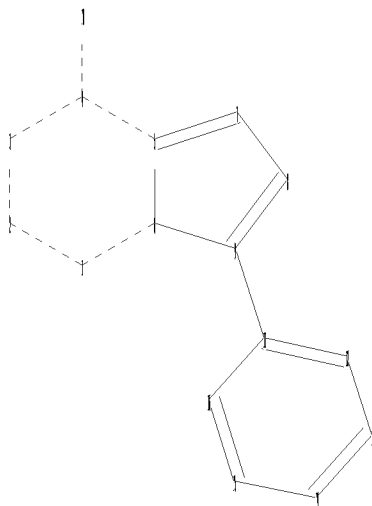
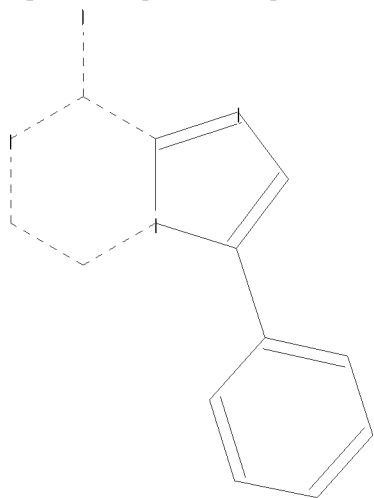
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10

ring nodes :

1 2 3 4 5 6 7 8 9 11 12 13 14 15 16

chain bonds :

4-10 9-11

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9 7-8 8-9 11-12 11-16 12-13 13-14 14-15
15-16

exact/norm bonds :

1-2 1-6 2-3 3-4 4-5 4-10 5-6 5-7 6-9 7-8 8-9

exact bonds :

9-11

normalized bonds :

11-12 11-16 12-13 13-14 14-15 15-16

Match level :

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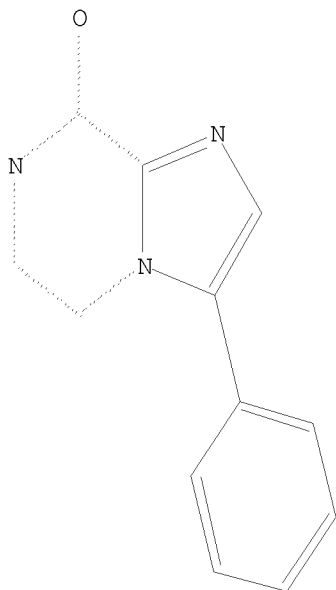
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom

L1 STRUCTURE UPLOADED

=> d 11

L1 HAS NO ANSWERS

L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l1

SAMPLE SEARCH INITIATED 16:39:48 FILE 'REGISTRY'
 SAMPLE SCREEN SEARCH COMPLETED - 308 TO ITERATE

100.0% PROCESSED 308 ITERATIONS 0 ANSWERS
 SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
 BATCH **COMPLETE**
 PROJECTED ITERATIONS: 5108 TO 7212
 PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

=> s l1 full

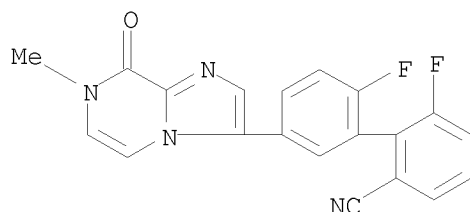
FULL SEARCH INITIATED 16:39:53 FILE 'REGISTRY'
 FULL SCREEN SEARCH COMPLETED - 6346 TO ITERATE

100.0% PROCESSED 6346 ITERATIONS 45 ANSWERS
 SEARCH TIME: 00.00.01

L3 45 SEA SSS FUL L1

=> d scan

L3 45 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN
 IN [1,1'-Biphenyl]-2-carbonitrile, 5'-(7,8-dihydro-7-methyl-8-oxoimidazo[1,2-
 a]pyrazin-3-yl)-2',6-difluoro-
 MF C20 H12 F2 N4 O



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

178.82

179.03

FILE 'CAPLUS' ENTERED AT 16:40:09 ON 12 MAR 2008

USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

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FILE COVERS 1907 - 12 Mar 2008 VOL 148 ISS 11

FILE LAST UPDATED: 11 Mar 2008 (20080311/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

<http://www.cas.org/infopolicy.html>

=> s 13

L4 8 L3

=> d 14 1-8 ibib abs hitstr

L4 ANSWER 1 OF 8 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:966023 CAPLUS

DOCUMENT NUMBER: 147:322989

TITLE: Preparation of bicyclic heteroaryl derivatives as cannabinoid receptor modulators

INVENTOR(S): Kundo, Mrinalkanti; Khairatkar-Joshi, Neelima; Nadkarni, Suhas M.; Pansare, Rameswar Madhavrao; Karnik, Pallavi V.

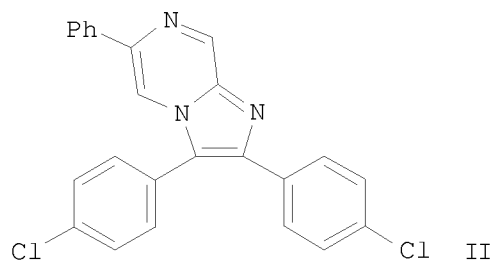
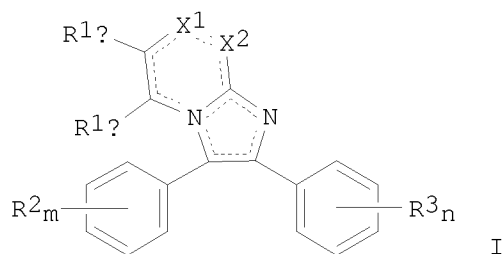
PATENT ASSIGNEE(S): Glenmark Pharmaceuticals S.A., Switz.

SOURCE: PCT Int. Appl., 172pp., which

CODEN: PIXXD2

DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-------------------|------------|
| WO 2007096764 | A2 | 20070830 | WO 2007-IB459 | 20070226 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| PRIORITY APPLN. INFO.: | | | IN 2006-MU275 | A 20060227 |
| | | | US 2006-781055P | P 20060310 |
| | | | IN 2006-MU1146 | A 20060718 |
| | | | US 2006-821475P | P 20060804 |
| | | | IN 2006-MU2088 | A 20061220 |
| OTHER SOURCE(S): | | | MARPAT 147:322989 | |
| GI | | | | |



AB Title compds. represented by the formula I [wherein X1 = CR, X2 = N or X1 = N, X2 = CR; R, R1a, R1b, R2, R3 = independently H, cyano, formyl, etc.; m = 1-5; n = 1-5; and analogs, N-oxides, tautomers, regioisomers, prodrugs, polymorphs, and pharmaceutically acceptable salts or solvates thereof] were prepared as cannabinoid receptor modulators. For example, reaction of (5-phenylpyrazin-2-yl)amine with 2-bromo-1-(4-chlorophenyl)-2-phenylethanone (preparation given) gave II. I were tested in in vitro for rat CB1 receptor binding using brain membrane and hCB1-CHO membranes, in vitro protocol for rat CB2 receptor binding using spleen membrane and hCB2-CHO

membranes. Thus, I and their pharmaceutical compns. are useful for the treatment of diseases, conditions and/or disorders modulated by a cannabinoid receptor, such as pain, neurodegenerative disorders, eating disorders, weight loss or control, obesity, smoking cessation, alc. dependency, depression, and attention deficit hyperactivity disorder.

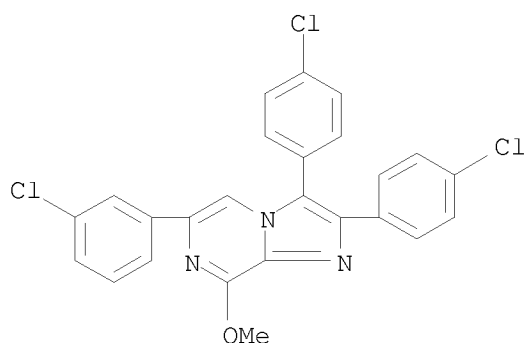
IT 947592-97-4P, 2,3-Bis(4-chlorophenyl)-6-(3-chlorophenyl)-8-methoxyimidazo[1,2-a]pyrazine

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of imidazo[1,2-a]pyrazine and imidazo[1,2-a]pyrimidine derivs. as cannabinoid receptor modulators)

RN 947592-97-4 CAPLUS

CN Imidazo[1,2-a]pyrazine, 6-(3-chlorophenyl)-2,3-bis(4-chlorophenyl)-8-methoxy- (CA INDEX NAME)



L4 ANSWER 2 OF 8 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:507532 CAPLUS

DOCUMENT NUMBER: 146:501082

TITLE: Imidazopyrazine compounds and their methods for inhibiting protein kinases, preparation, pharmaceutical compositions and use in the treatment of protein kinase-associated diseases

INVENTOR(S): Guzi, Timothy J.; Paruch, Kamil; Dwyer, Michael P.; Parry, David A.; Zhao, Lianyun; Curran, Patrick J.; Belanger, David B.; Hamann, Blake; Reddy, Panduranga Adulla P.; Siddiqui, M. Arshad; Tadikonda, Praveen K.

PATENT ASSIGNEE(S): Schering Corporation, USA

SOURCE: U.S. Pat. Appl. Publ., 346pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|----------|
| US 2007105864 | A1 | 20070510 | US 2006-598188 | 20061108 |
| WO 2007056468 | A1 | 20070518 | WO 2006-US43512 | 20061108 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW | | | | |

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
 IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,
 CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,
 GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
 KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.:

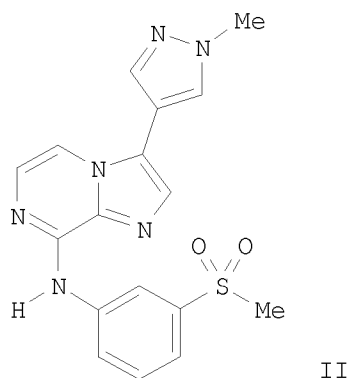
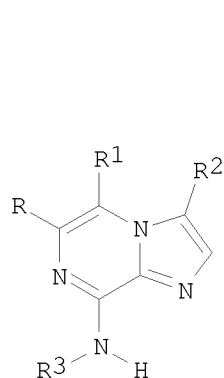
US 2005-735610P

P 20051110

OTHER SOURCE(S):

MARPAT 146:501082

GI



AB The invention provides methods for inhibiting protein kinases selected from the group consisting of AKT, CCheckpoint kinase, Aurora kinase, Pim-1 kinase, and tyrosine kinase using imidazo[1,2-a]pyrazine compds. of formula I and methods of treatment, prevention, inhibition, or amelioration of one or more diseases associated with protein kinases using such compds. Compds. of formula I wherein R is H, halo, (un)substituted (hetero)aryl, (un)substituted cycloalkyl, (un)substituted arylalkyl, (un)substituted heterocyclyl, etc.; R1 is H, halo, and alkyl; R2 is alkyl, (un)substituted (hetero)aryl(alkyl), alkenyl, alkynyl, etc.; R3 is H, (un)substituted (hetero)aryl(alkyl), (un)substituted heterocyclyl(alkyl), (un)substituted (hetero)cycloalkyl, etc.; and their pharmaceutically acceptable salts, solvates, esters, and prodrugs thereof are claimed. Example compound II was prepared by amination of 3-(1-methylpyrazol-4-yl)-7-methylsulfonylimidazo[1,2-a]pyrazine with 3-(methanesulfonyl)aniline. All the invention compds. were evaluated for their protein kinase inhibitory activity (data given).

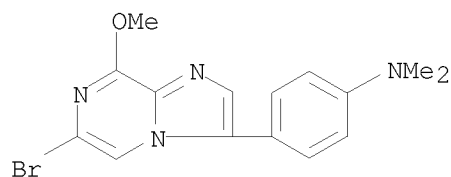
IT 887476-05-3P 936360-38-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

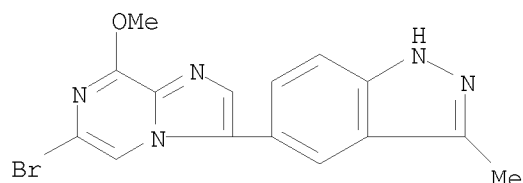
(drug candidate; preparation of imidazopyrazine compds. as protein kinase inhibitors useful in treatment and prevention of protein kinase-associated diseases)

RN 887476-05-3 CAPLUS

CN Benzenamine, 4-(6-bromo-8-methoxyimidazo[1,2-a]pyrazin-3-yl)-N,N-dimethyl-
 (CA INDEX NAME)



RN 936360-38-2 CAPLUS
 CN Imidazo[1,2-a]pyrazine, 6-bromo-8-methoxy-3-(3-methyl-1H-indazol-5-yl)-
 (CA INDEX NAME)



L4 ANSWER 3 OF 8 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2006:463553 CAPLUS
 DOCUMENT NUMBER: 144:488677
 TITLE: Preparation of novel imidazopyrazines as cyclin
 dependent kinase inhibitors
 INVENTOR(S): Guzi, Timothy J.; Paruch, Kamil; Dwyer, Michael P.;
 Zhao, Lianyun; Curran, Patrick J.; Belanger, David B.;
 Hamann, Blake; Reddy, Panduranga A.; Siddiqui, M.
 Arshad
 PATENT ASSIGNEE(S): Schering Corporation, USA
 SOURCE: U.S. Pat. Appl. Publ., 161 pp., Cont.-in-part of U.S.
 Ser. No. 47,524.
 CODEN: USXXCO
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---------------|------|----------|-----------------|----------|
| US 2006106023 | A1 | 20060518 | US 2005-272392 | 20051110 |
| US 2004063715 | A1 | 20040401 | US 2003-665005 | 20030919 |
| US 6919341 | B2 | 20050719 | | |
| US 2005130980 | A1 | 20050616 | US 2005-47524 | 20050131 |
| WO 2007058873 | A2 | 20070524 | WO 2006-US43592 | 20061108 |
| WO 2007058873 | A3 | 20070719 | | |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
 CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
 GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN,
 KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK,
 MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO,
 RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT,
 TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
 RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
 IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,
 CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,
 GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
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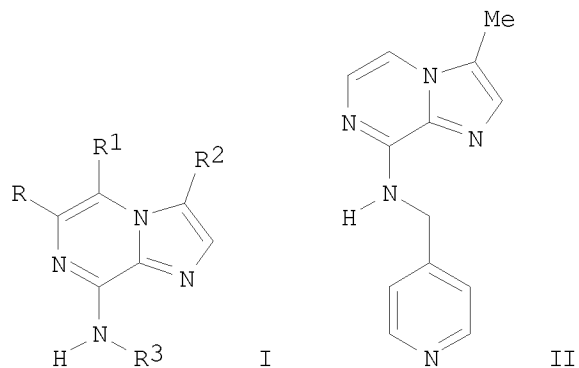
AU 2007200401
PRIORITY APPLN. INFO.:

A1 20070222

AU 2007-200401
US 2002-412997P
US 2003-665005
US 2005-47524
AU 2003-272476
US 2005-272392

20070131
P 20020923
A3 20030919
A2 20050131
A3 20030919
A 20051110

OTHER SOURCE(S): MARPAT 144:488677
GI



AB In its many embodiments, the present invention provides a novel class of imidazo[1,2-a]pyrazine compds. of formula I [R = H, halo, (un)substituted-aryl, -heteroaryl, -cycloalkyl, etc.; R1 = H, halo or alkyl; R2 = halo, (un)substituted-alkyl, -aryl, -arylalkyl, etc.; R3 = H, (un)substituted-aryl, -heteroaryl, -heterocyclyl, etc.] as inhibitors of cyclin dependent kinases, methods of preparing such compds., pharmaceutical compns. containing one or more such compds., methods of preparing pharmaceutical

formulations comprising one or more such compds., and methods of treatment, prevention, inhibition, or amelioration of one or more diseases associated with the CDKs using such compds. or pharmaceutical compns. Thus, e.g., II was prepared by condensation of 8-chloro-3-methylimidazo[1,2-a]pyrazine with 4-(aminomethyl)pyridine. I possessed excellent CDK inhibitory properties, e.g., II demonstrated an IC50 value of 22.5 μ M.

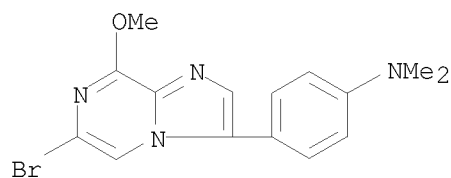
IT 887476-05-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of novel imidazopyrazines as cyclin dependent kinase inhibitors useful in treatment and prevention of various diseases)

RN 887476-05-3 CAPLUS

CN Benzenamine, 4-(6-bromo-8-methoxyimidazo[1,2-a]pyrazin-3-yl)-N,N-dimethyl- (CA INDEX NAME)



L4 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:128501 CAPLUS

DOCUMENT NUMBER: 144:343043

TITLE: Imidazo[1,2-a]pyrazin-8-ones, imidazo[1,2-d][1,2,4]triazin-8-ones and imidazo[2,1-f][1,2,4]triazin-8-ones as $\alpha 2/\alpha 3$ subtype

AUTHOR(S): selective GABAA agonists for the treatment of anxiety
Goodacre, Simon C.; Hallett, David J.; Carling, Robert W.; Castro, Jose L.; Reynolds, David S.; Pike, Andrew; Wafford, Keith A.; Newman, Robert; Atack, John R.; Street, Leslie J.

CORPORATE SOURCE: Neuroscience Research Centre, Merck, Sharp and Dohme Research Laboratories, Harlow, Essex, CM20 2QR, UK

SOURCE: Bioorganic & Medicinal Chemistry Letters (2006), 16(6), 1582-1585

CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier B.V.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 144:343043

AB Imidazo[1,2-a]pyrazin-8-ones, imidazo[1,2-d][1,2,4]triazin-8-ones and imidazo[2,1-f][1,2,4]triazin-8-ones are high affinity GABAA agonists. Compound 16d has good oral bioavailability in rat, functional selectivity for the GABAA $\alpha 2$ and $\alpha 3$ -subtypes and is anxiolytic in a conditioned animal model of anxiety with minimal sedation observed at full BZ binding site occupancy.

IT 689296-91-1P 689297-04-9P 689297-17-4P

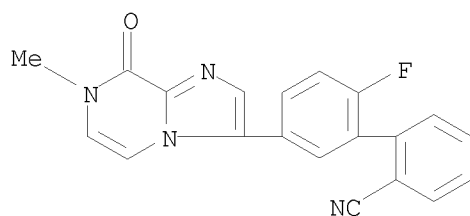
689297-19-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

($\alpha 2/\alpha 3$ subtype selective GABAA agonists for the treatment of anxiety)

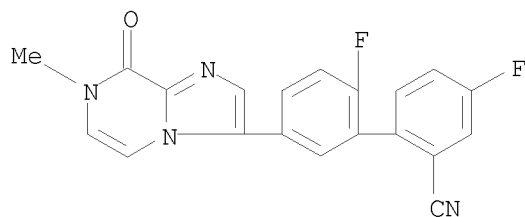
RN 689296-91-1 CAPLUS

CN [1,1'-Biphenyl]-2-carbonitrile, 5'-(7,8-dihydro-7-methyl-8-oxoimidazo[1,2-a]pyrazin-3-yl)-2'-fluoro- (CA INDEX NAME)

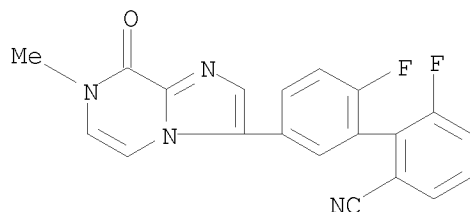


RN 689297-04-9 CAPLUS

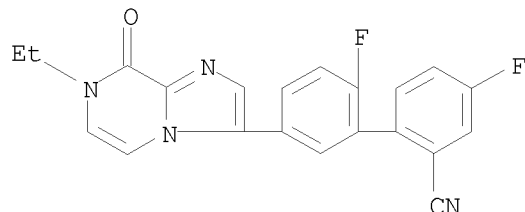
CN [1,1'-Biphenyl]-2-carbonitrile, 5'-(7,8-dihydro-7-methyl-8-oxoimidazo[1,2-a]pyrazin-3-yl)-2',4-difluoro- (CA INDEX NAME)



RN 689297-17-4 CAPLUS
 CN [1,1'-Biphenyl]-2-carbonitrile, 5'-(7,8-dihydro-7-methyl-8-oxoimidazo[1,2-a]pyrazin-3-yl)-2',6-difluoro- (CA INDEX NAME)

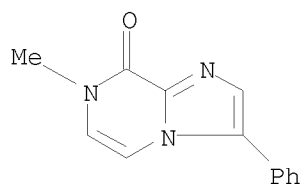


RN 689297-19-6 CAPLUS
 CN [1,1'-Biphenyl]-2-carbonitrile, 5'-(7-ethyl-7,8-dihydro-8-oxoimidazo[1,2-a]pyrazin-3-yl)-2',4-difluoro- (CA INDEX NAME)



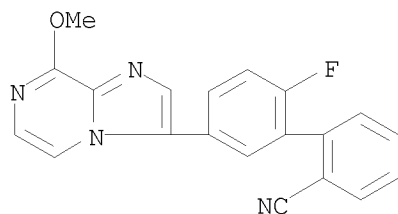
IT 881743-74-4
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (α 2/ α 3 subtype selective GABAA agonists for the treatment of anxiety)

RN 881743-74-4 CAPLUS
 CN Imidazo[1,2-a]pyrazin-8(7H)-one, 7-methyl-3-phenyl- (CA INDEX NAME)



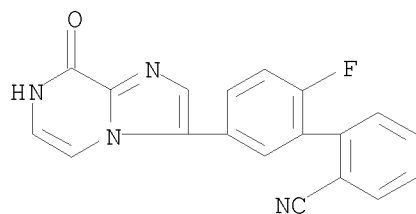
IT 689297-63-0P 689297-65-2P 689297-98-1P
 918544-48-6P 918545-23-0P 918545-44-5P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (α 2/ α 3 subtype selective GABAA agonists for the treatment of anxiety)

RN 689297-63-0 CAPLUS
 CN [1,1'-Biphenyl]-2-carbonitrile, 2'-fluoro-5'-(8-methoxyimidazo[1,2-a]pyrazin-3-yl)- (CA INDEX NAME)



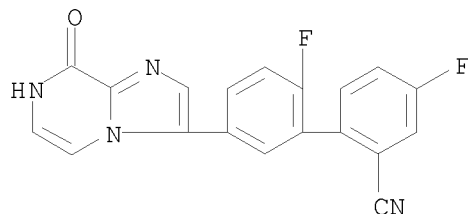
RN 689297-65-2 CAPLUS

CN [1,1'-Biphenyl]-2-carbonitrile, 5'-(7,8-dihydro-8-oxoimidazo[1,2-a]pyrazin-3-yl)-2'-fluoro- (CA INDEX NAME)



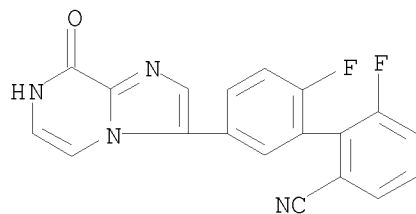
RN 689297-98-1 CAPLUS

CN [1,1'-Biphenyl]-2-carbonitrile, 5'-(7,8-dihydro-8-oxoimidazo[1,2-a]pyrazin-3-yl)-2',4-difluoro- (CA INDEX NAME)



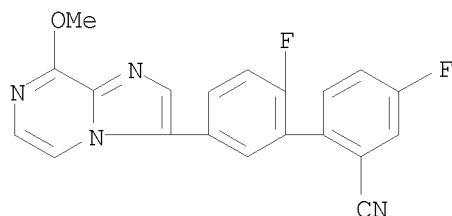
RN 918544-48-6 CAPLUS

CN [1,1'-Biphenyl]-2-carbonitrile, 5'-(7,8-dihydro-8-oxoimidazo[1,2-a]pyrazin-3-yl)-2',6-difluoro- (CA INDEX NAME)

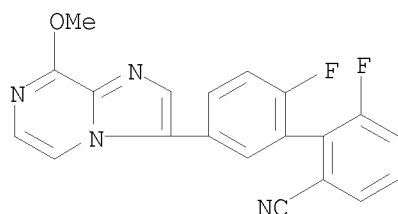


RN 918545-23-0 CAPLUS

CN [1,1'-Biphenyl]-2-carbonitrile, 2',4-difluoro-5'-(8-methoxyimidazo[1,2-a]pyrazin-3-yl)- (CA INDEX NAME)



RN 918545-44-5 CAPLUS
 CN [1,1'-Biphenyl]-2-carbonitrile, 2',6-difluoro-5'-(8-methoxyimidazo[1,2-a]pyrazin-3-yl)- (CA INDEX NAME)



REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 8 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:346791 CAPLUS

DOCUMENT NUMBER: 142:411376

TITLE: A preparation of imidazopyrazine derivatives, useful as antiarrhythmics

INVENTOR(S): Plouvier, Bertrand M. C.; Fedida, David; Beatch, Gregory N.; Chou, Doug Ta Hung; Yifru, Aregahegn S.; Jung, Grace

PATENT ASSIGNEE(S): Cardiome Pharma Corporation, Can.

SOURCE: PCT Int. Appl., 100 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

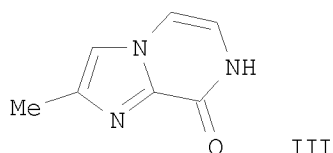
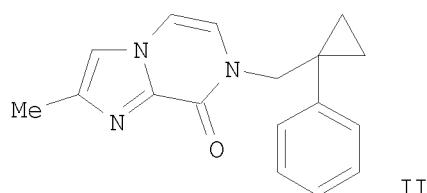
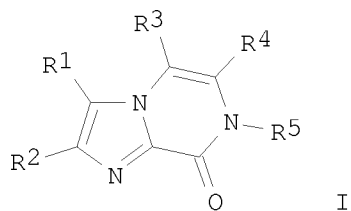
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---------------|--|----------|-----------------|----------|
| WO 2005034837 | A2 | 20050421 | WO 2004-IB3601 | 20041008 |
| WO 2005034837 | A3 | 20050714 | | |
| W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | |
| RW: | BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | |

PRIORITY APPLN. INFO.: US 2003-510010P P 20031008

OTHER SOURCE(S): CASREACT 142:411376; MARPAT 142:411376

GI



AB The invention relates to a preparation of imidazopyrazine derivs. of formula I [wherein: R1, R2, R3, and R4 are independently selected from H, Br, Cl, F, NO2, CHF2, or (cyclo)alkyl, etc.; R5 is a substituted alkyl], useful as antiarrhythmics. For instance, imidazopyrazinone derivative II [IC50 (μM), ion-channels: Kv1.5 - 4.8, hERG - 100, H1Na - 340, Kv2.1 - 60] was prepared via amination of 1-phenyl-1-cyclopropylmethanol by imidazopyrazine derivative III with a yield of 42%.

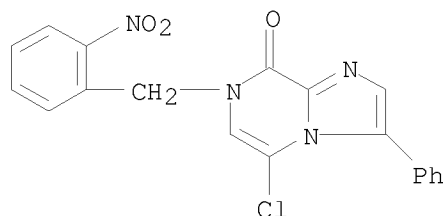
IT 850406-59-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of imidazopyrazine derivs. useful as antiarrhythmics)

RN 850406-59-6 CAPLUS

CN Imidazo[1,2-a]pyrazin-8(7H)-one, 5-chloro-7-[(2-nitrophenyl)methyl]-3-phenyl- (CA INDEX NAME)



L4 ANSWER 6 OF 8 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:412949 CAPLUS

DOCUMENT NUMBER: 140:406827

TITLE: Preparation of imidazopyrazinone and imidazotriazinone derivatives as GABAA receptor ligands
INVENTOR(S): Carling, William Robert; Castro Pineiro, Jose Luis; Goodacre, Simon Charles; Hallett, David James; Street, Leslie Joseph

PATENT ASSIGNEE(S): Merck Sharp & Dohme Limited, UK

SOURCE: PCT Int. Appl., 49 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 1

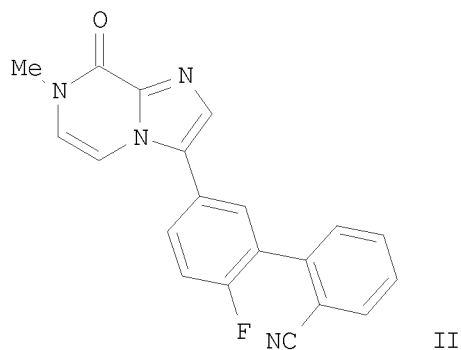
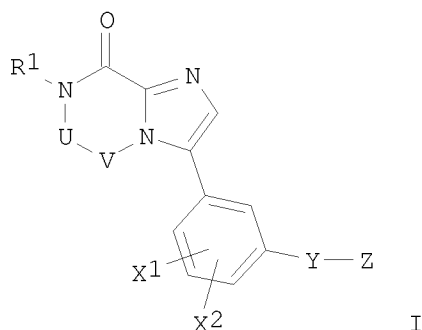
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|------------|
| WO 2004041826 | A1 | 20040521 | WO 2003-GB4685 | 20031029 |
| WO 2004041826 | A8 | 20050630 | | |
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| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| AU 2003278377 | A1 | 20040607 | AU 2003-278377 | 20031029 |
| US 2006014744 | A1 | 20060119 | US 2005-533152 | 20050427 |
| PRIORITY APPLN. INFO.: | | | GB 2002-25923 | A 20021106 |
| | | | GB 2003-2529 | A 20030204 |
| | | | GB 2003-4415 | A 20030226 |
| | | | GB 2003-13646 | A 20030612 |
| | | | WO 2003-GB4685 | W 20031029 |

OTHER SOURCE(S):

MARPAT 140:406827

GI



AB The title compds. I [-U-V- = -CH=CH-, -CH2-CH2-, -N=CH-, or -CH=N-; X1 =

H, halo, alkyl, CF₃, or alkoxy; X₂ = H or halo; Y = a bond, O, -NH-, -OCH₂-; Z = (substituted)aryl or (substituted)heteroaryl; R₁ = alkyl, heterocyclyl, CF₃, -SO₂Ra, -SO₂NRaRb, -CORa, or -CONRaRb; Ra, Rb = H, alkyl, heterocyclyl] were prepared as as GABAA receptor ligands for treating and/or preventing anxiety, convulsions or a cognitive disorder. Thus, reaction of 3-bromo-8-methoxyimidazo[1,2-a]pyrazine (preparation given) and 2'-fluoro-5'-(4,4,5,5-tetramethyl-[1,3,2]dioxaborolan-2-yl)-biphenyl-2-carbonitrile following by heating in HBr and methylation afforded compound II.

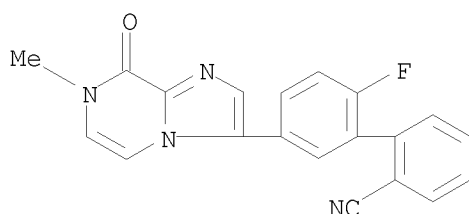
IT 689296-91-1P 689296-94-4P 689296-98-8P
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 689297-47-0P 689297-49-2P 689297-51-6P
 689297-53-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of imidazopyrazinone and imidazotriazinone derivs. as GABAA receptor ligands)

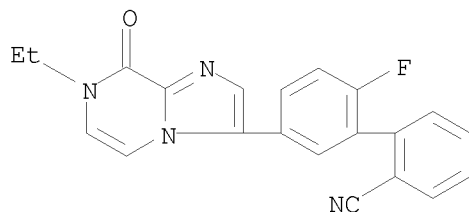
RN 689296-91-1 CAPLUS

CN [1,1'-Biphenyl]-2-carbonitrile, 5'-(7,8-dihydro-7-methyl-8-oxoimidazo[1,2-a]pyrazin-3-yl)-2'-fluoro- (CA INDEX NAME)



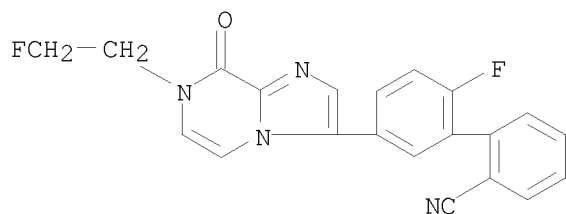
RN 689296-94-4 CAPLUS

CN [1,1'-Biphenyl]-2-carbonitrile, 5'-(7-ethyl-7,8-dihydro-8-oxoimidazo[1,2-a]pyrazin-3-yl)-2'-fluoro- (CA INDEX NAME)



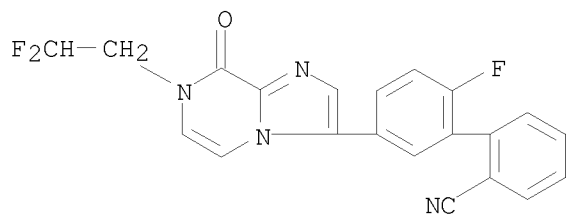
RN 689296-98-8 CAPLUS

CN [1,1'-Biphenyl]-2-carbonitrile, 2'-fluoro-5'-[7-(2-fluoroethyl)-7,8-dihydro-8-oxoimidazo[1,2-a]pyrazin-3-yl]- (CA INDEX NAME)



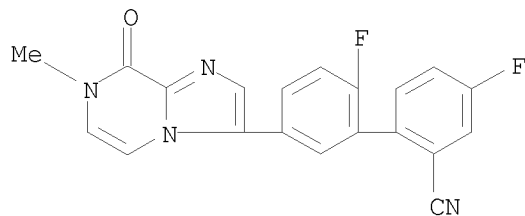
RN 689297-01-6 CAPLUS

CN [1,1'-Biphenyl]-2-carbonitrile, 5'-[7-(2,2-difluoroethyl)-7,8-dihydro-8-oxoimidazo[1,2-a]pyrazin-3-yl]-2'-fluoro- (CA INDEX NAME)



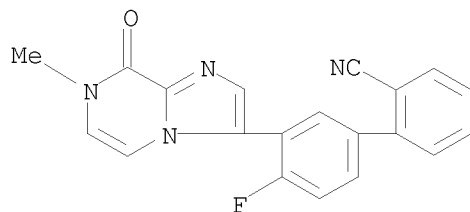
RN 689297-04-9 CAPLUS

CN [1,1'-Biphenyl]-2-carbonitrile, 5'-(7,8-dihydro-7-methyl-8-oxoimidazo[1,2-a]pyrazin-3-yl)-2',4-difluoro- (CA INDEX NAME)



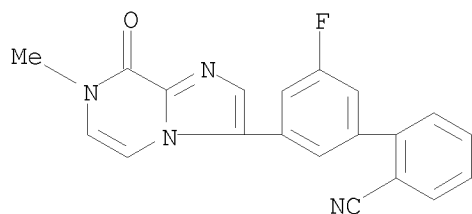
RN 689297-07-2 CAPLUS

CN [1,1'-Biphenyl]-2-carbonitrile, 3'-(7,8-dihydro-7-methyl-8-oxoimidazo[1,2-a]pyrazin-3-yl)-4'-fluoro- (CA INDEX NAME)



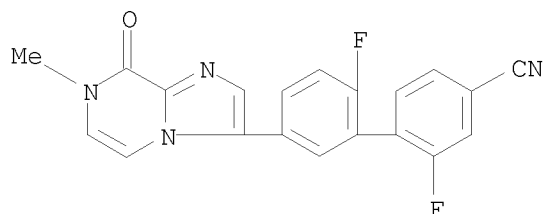
RN 689297-10-7 CAPLUS

CN [1,1'-Biphenyl]-2-carbonitrile, 3'-(7,8-dihydro-7-methyl-8-oxoimidazo[1,2-a]pyrazin-3-yl)-5'-fluoro- (CA INDEX NAME)



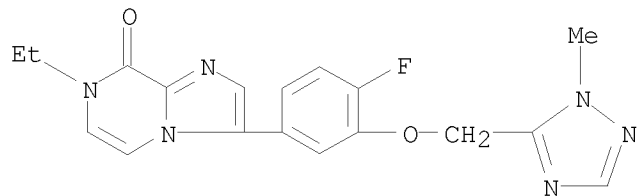
RN 689297-13-0 CAPLUS

CN [1,1'-Biphenyl]-4-carbonitrile, 5'-(7,8-dihydro-7-methyl-8-oxoimidazo[1,2-a]pyrazin-3-yl)-2,2'-difluoro- (CA INDEX NAME)



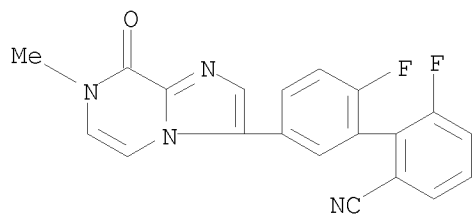
RN 689297-15-2 CAPLUS

CN Imidazo[1,2-a]pyrazin-8(7H)-one, 7-ethyl-3-[4-fluoro-3-[(1-methyl-1H-1,2,4-triazol-5-yl)methoxy]phenyl]- (CA INDEX NAME)



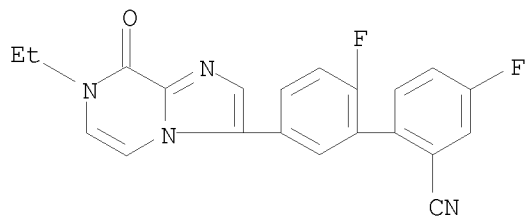
RN 689297-17-4 CAPLUS

CN [1,1'-Biphenyl]-2-carbonitrile, 5'-(7,8-dihydro-7-methyl-8-oxoimidazo[1,2-a]pyrazin-3-yl)-2',6-difluoro- (CA INDEX NAME)



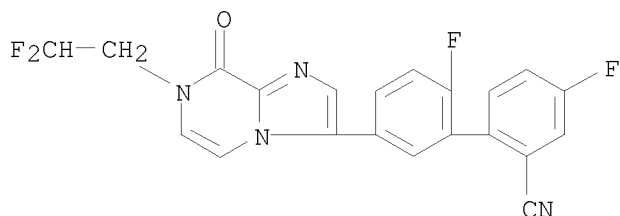
RN 689297-19-6 CAPLUS

CN [1,1'-Biphenyl]-2-carbonitrile, 5'-(7-ethyl-7,8-dihydro-8-oxoimidazo[1,2-a]pyrazin-3-yl)-2',4-difluoro- (CA INDEX NAME)



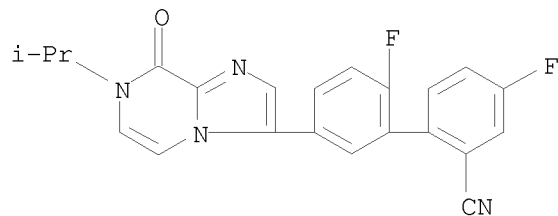
RN 689297-21-0 CAPLUS

CN [1,1'-Biphenyl]-2-carbonitrile, 5'-[7-(2,2-difluoroethyl)-7,8-dihydro-8-oxoimidazo[1,2-a]pyrazin-3-yl]-2',4-difluoro- (CA INDEX NAME)



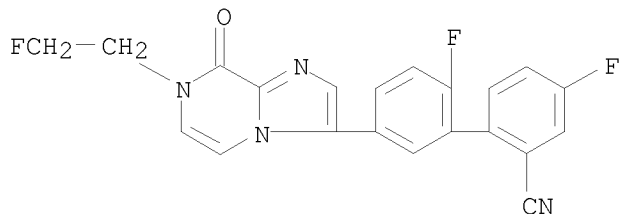
RN 689297-23-2 CAPLUS

CN [1,1'-Biphenyl]-2-carbonitrile, 5'-[7,8-dihydro-7-(1-methylethyl)-8-oxoimidazo[1,2-a]pyrazin-3-yl]-2',4-difluoro- (CA INDEX NAME)



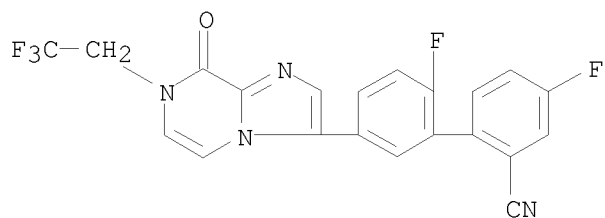
RN 689297-25-4 CAPLUS

CN [1,1'-Biphenyl]-2-carbonitrile, 2',4-difluoro-5'-[7-(2-fluoroethyl)-7,8-dihydro-8-oxoimidazo[1,2-a]pyrazin-3-yl]- (CA INDEX NAME)

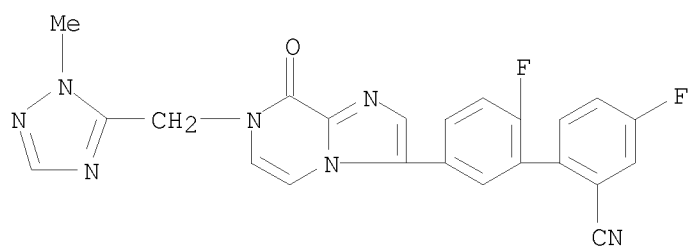


RN 689297-27-6 CAPLUS

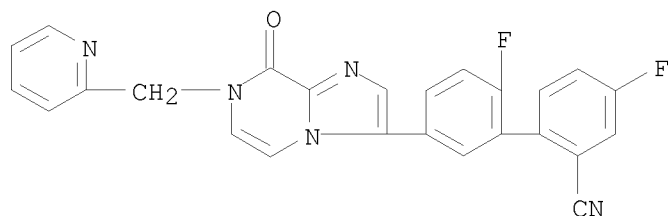
CN [1,1'-Biphenyl]-2-carbonitrile, 5'-[7,8-dihydro-8-oxo-7-(2,2,2-trifluoroethyl)imidazo[1,2-a]pyrazin-3-yl]-2',4-difluoro- (CA INDEX NAME)



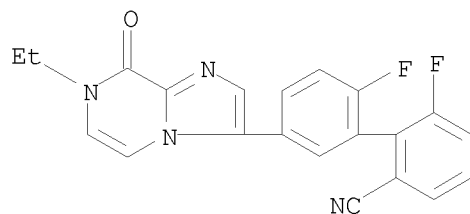
RN 689297-29-8 CAPLUS
 CN [1,1'-Biphenyl]-2-carbonitrile, 5'-[7,8-dihydro-7-[(1-methyl-1H-1,2,4-triazol-5-yl)methyl]-8-oxoimidazo[1,2-a]pyrazin-3-yl]-2',4-difluoro- (CA INDEX NAME)



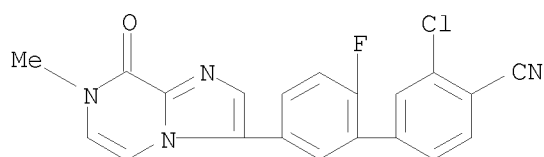
RN 689297-31-2 CAPLUS
 CN [1,1'-Biphenyl]-2-carbonitrile, 5'-[7,8-dihydro-8-oxo-7-(2-pyridinylmethyl)imidazo[1,2-a]pyrazin-3-yl]-2',4-difluoro- (CA INDEX NAME)



RN 689297-33-4 CAPLUS
 CN [1,1'-Biphenyl]-2-carbonitrile, 5'-(7-ethyl-7,8-dihydro-8-oxoimidazo[1,2-a]pyrazin-3-yl)-2',6-difluoro- (CA INDEX NAME)

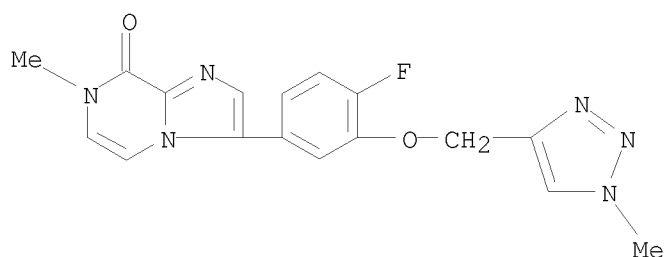


RN 689297-35-6 CAPLUS
 CN [1,1'-Biphenyl]-4-carbonitrile, 3-chloro-5'-(7,8-dihydro-7-methyl-8-oxoimidazo[1,2-a]pyrazin-3-yl)-2'-fluoro- (CA INDEX NAME)



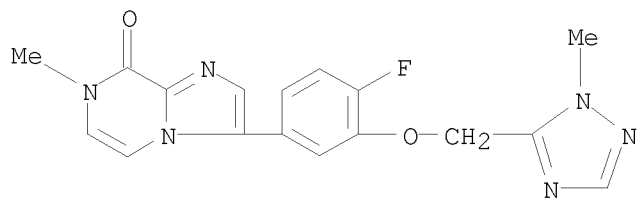
RN 689297-37-8 CAPLUS

CN Imidazo[1,2-a]pyrazin-8(7H)-one, 3-[4-fluoro-3-[(1-methyl-1H-1,2,3-triazol-4-yl)methoxy]phenyl]-7-methyl- (CA INDEX NAME)



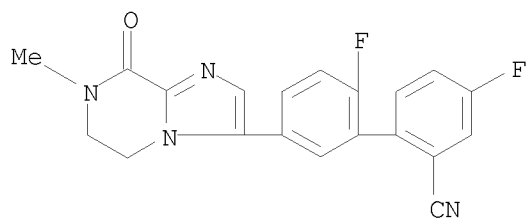
RN 689297-39-0 CAPLUS

CN Imidazo[1,2-a]pyrazin-8(7H)-one, 3-[4-fluoro-3-[(1-methyl-1H-1,2,4-triazol-5-yl)methoxy]phenyl]-7-methyl- (CA INDEX NAME)



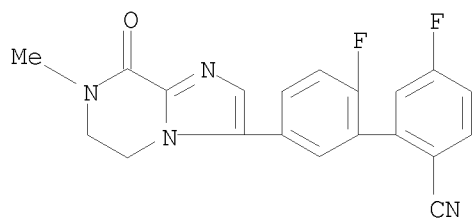
RN 689297-41-4 CAPLUS

CN [1,1'-Biphenyl]-2-carbonitrile, 2',4-difluoro-5'-(5,6,7,8-tetrahydro-7-methyl-8-oxoimidazo[1,2-a]pyrazin-3-yl)- (CA INDEX NAME)

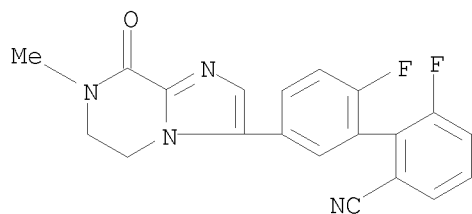


RN 689297-43-6 CAPLUS

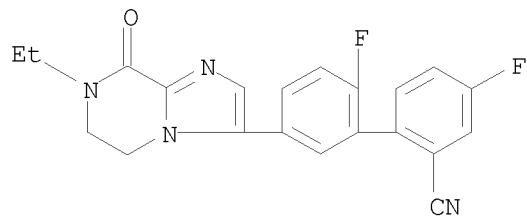
CN [1,1'-Biphenyl]-2-carbonitrile, 2',5-difluoro-5'-(5,6,7,8-tetrahydro-7-methyl-8-oxoimidazo[1,2-a]pyrazin-3-yl)- (CA INDEX NAME)



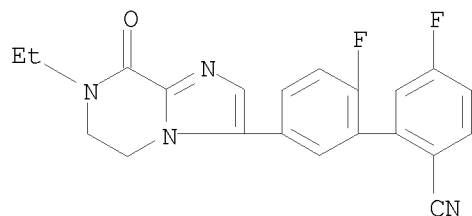
RN 689297-45-8 CAPLUS
 CN [1,1'-Biphenyl]-2-carbonitrile, 2',6-difluoro-5'-(5,6,7,8-tetrahydro-7-methyl-8-oxoimidazo[1,2-a]pyrazin-3-yl)- (CA INDEX NAME)



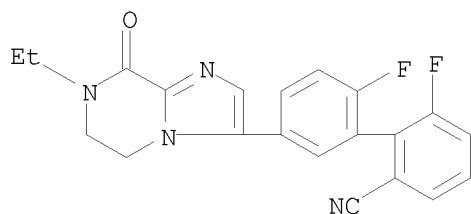
RN 689297-47-0 CAPLUS
 CN [1,1'-Biphenyl]-2-carbonitrile, 5'-(7-ethyl-5,6,7,8-tetrahydro-8-oxoimidazo[1,2-a]pyrazin-3-yl)-2',4-difluoro- (CA INDEX NAME)



RN 689297-49-2 CAPLUS
 CN [1,1'-Biphenyl]-2-carbonitrile, 5'-(7-ethyl-5,6,7,8-tetrahydro-8-oxoimidazo[1,2-a]pyrazin-3-yl)-2',5-difluoro- (CA INDEX NAME)

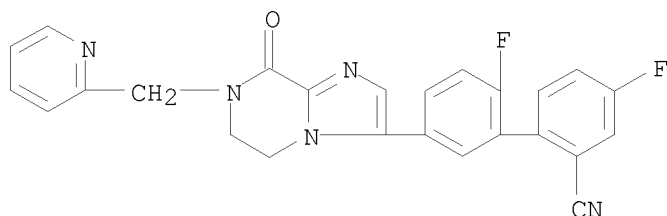


RN 689297-51-6 CAPLUS
 CN [1,1'-Biphenyl]-2-carbonitrile, 5'-(7-ethyl-5,6,7,8-tetrahydro-8-oxoimidazo[1,2-a]pyrazin-3-yl)-2',6-difluoro- (CA INDEX NAME)



RN 689297-53-8 CAPLUS

CN [1,1'-Biphenyl]-2-carbonitrile, 2',4-difluoro-5'-[5,6,7,8-tetrahydro-8-oxo-7-(2-pyridinylmethyl)imidazo[1,2-a]pyrazin-3-yl]- (CA INDEX NAME)



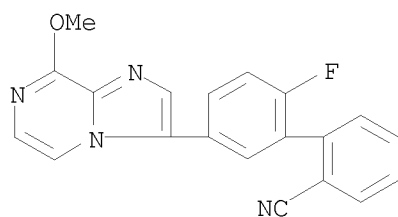
IT 689297-63-0P 689297-65-2P 689297-98-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of imidazopyrazinone and imidazotriazinone derivs. as GABAA receptor ligands)

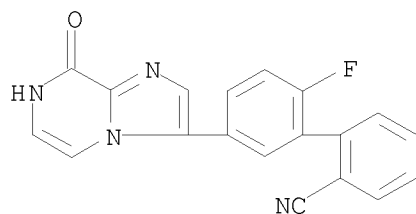
RN 689297-63-0 CAPLUS

CN [1,1'-Biphenyl]-2-carbonitrile, 2'-fluoro-5'-(8-methoxyimidazo[1,2-a]pyrazin-3-yl)- (CA INDEX NAME)



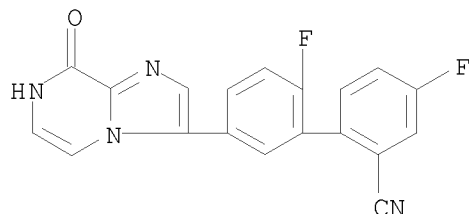
RN 689297-65-2 CAPLUS

CN [1,1'-Biphenyl]-2-carbonitrile, 5'-(7,8-dihydro-8-oxoimidazo[1,2-a]pyrazin-3-yl)-2'-fluoro- (CA INDEX NAME)



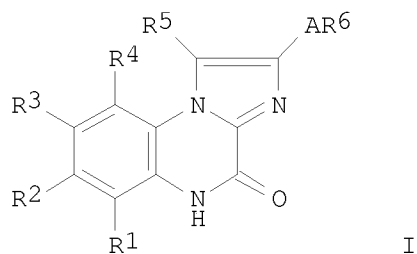
RN 689297-98-1 CAPLUS

CN [1,1'-Biphenyl]-2-carbonitrile, 5'-(7,8-dihydro-8-oxoimidazo[1,2-a]pyrazin-3-yl)-2',4-difluoro- (CA INDEX NAME)



L4 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 1995:647967 CAPLUS
 DOCUMENT NUMBER: 123:55918
 TITLE: Preparation of 4-oxoimidazolo[1,2-a]quinoxalines as antagonists of excitatory amino acids.
 INVENTOR(S): Treiber, Hans-Joerg; Behl, Berthold; Hofmann, Hans Peter
 PATENT ASSIGNEE(S): BASF A.-G., Germany
 SOURCE: Ger. Offen., 15 pp.
 CODEN: GWXXBX
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|------------------|-------------|
| DE 4329970 | A1 | 19941006 | DE 1993-4329970 | 19930904 |
| CA 2158167 | A1 | 19941013 | CA 1994-2158167 | 19940319 |
| WO 9422865 | A1 | 19941013 | WO 1994-EP871 | 19940319 |
| W: AU, BR, BY, CA, CN, CZ, FI, HU, JP, KR, KZ, NO, NZ, PL, RU, SI, UA, US | | | | |
| RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE | | | | |
| AU 9464282 | A | 19941024 | AU 1994-64282 | 19940319 |
| BR 9406034 | A | 19960102 | BR 1994-6034 | 19940319 |
| EP 691970 | A1 | 19960117 | EP 1994-911932 | 19940319 |
| EP 691970 | B1 | 19981111 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE | | | | |
| CN 1120336 | A | 19960410 | CN 1994-191613 | 19940319 |
| CN 1041928 | B | 19990203 | | |
| JP 08508271 | T | 19960903 | JP 1994-521617 | 19940319 |
| HU 73970 | A2 | 19961028 | HU 1995-2848 | 19940319 |
| AT 173263 | T | 19981115 | AT 1994-911932 | 19940319 |
| IL 109076 | A | 19970610 | IL 1994-109076 | 19940322 |
| ZA 9402246 | A | 19951002 | ZA 1994-2246 | 19940330 |
| FI 9504614 | A | 19950928 | FI 1995-4614 | 19950928 |
| NO 9503892 | A | 19950929 | NO 1995-3892 | 19950929 |
| PRIORITY APPLN. INFO.: | | | DE 1993-4310521 | A1 19930331 |
| | | | DE 1993-4329970 | A 19930904 |
| | | | WO 1994-EP871 | W 19940319 |
| OTHER SOURCE(S): | | | MARPAT 123:55918 | |
| GI | | | | |



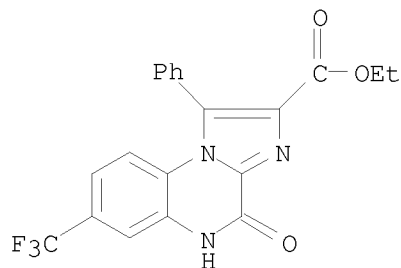
AB Title compds. [I; A = (unsatd.) C1-5 alkylene, bond; R1-R4 = H, F, Cl, Br, CF3, OCF3, cyano, NO2, amino, alkyl, alkoxy, alkylamino, alkylthio, alkylsulfenyl, alkylsulfonyl, aminosulfonyl, alkoxycarbonyl, etc.; R5 = H, alkyl, (substituted) Ph; R6 = CHO, (salt of) CO2H, CO2R7, hydroxyalkyl, alkylcarbonyl, cyano, tetrazolyl, aldoxime, carbamoyl, etc.; R7 = alkyl, cycloalkyl, PhCH2, etc.; with provisos], were prepared as antagonists of excitatory amino acids (no data). Thus, 1-(2-aminophenyl)4-carboethoxy-5-methylimidazole was refluxed 1.5 h with carbonyldiimidazole in 1,2-dichlorobenzene to give Et 4,5-dihydro-1-methyl-4-oxoimidazolo[1,2-a]quinoxalin-2-carboxylate. Tablets were prepared containing 4,5-dihydro-1-methyl-7-trifluoromethyl-4-oxoimidazolo[1,2-a]quinoxalin-2-carboxylic acid.

IT 164329-62-8P 164329-68-4P 164329-98-0P
164330-04-5P 164330-31-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 4-oxoimidazolo[1,2-a]quinoxalines as antagonists of excitatory amino acids)

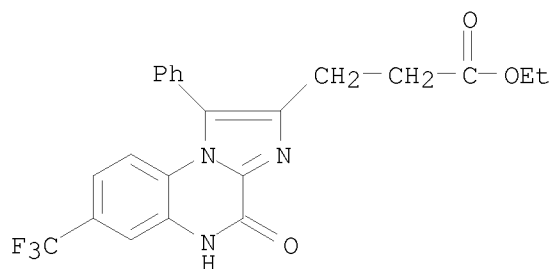
RN 164329-62-8 CAPLUS

CN Imidazo[1,2-a]quinoxaline-2-carboxylic acid, 4,5-dihydro-4-oxo-1-phenyl-7-(trifluoromethyl)-, ethyl ester (CA INDEX NAME)



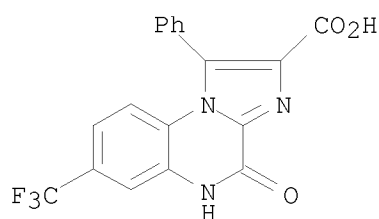
RN 164329-68-4 CAPLUS

CN Imidazo[1,2-a]quinoxaline-2-propanoic acid, 4,5-dihydro-4-oxo-1-phenyl-7-(trifluoromethyl)-, ethyl ester (CA INDEX NAME)



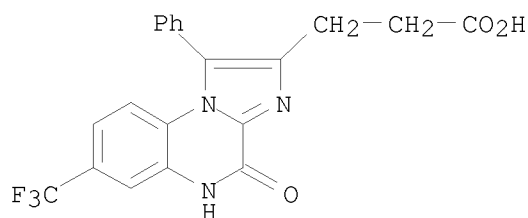
RN 164329-98-0 CAPLUS

CN Imidazo[1,2-a]quinoxaline-2-carboxylic acid, 4,5-dihydro-4-oxo-1-phenyl-7-(trifluoromethyl)- (CA INDEX NAME)



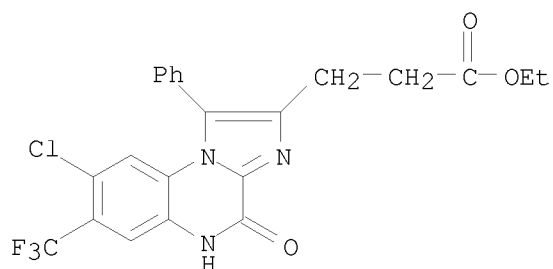
RN 164330-04-5 CAPLUS

CN Imidazo[1,2-a]quinoxaline-2-propanoic acid, 4,5-dihydro-4-oxo-1-phenyl-7-(trifluoromethyl)- (CA INDEX NAME)



RN 164330-31-8 CAPLUS

CN Imidazo[1,2-a]quinoxaline-2-propanoic acid, 8-chloro-4,5-dihydro-4-oxo-1-phenyl-7-(trifluoromethyl)-, ethyl ester (CA INDEX NAME)



ACCESSION NUMBER: 1959:72555 CAPLUS
 DOCUMENT NUMBER: 53:72555
 ORIGINAL REFERENCE NO.: 53:13139i,13140a-i,13141a-f
 TITLE: Studies in the azole series. VII. Reactions of imidazoles with isocyanates
 AUTHOR(S): Gompper, Rudolf; Hoyer, Ernst; Herlinger, Heinz
 CORPORATE SOURCE: Tech. Hochschule, Stuttgart, Germany
 SOURCE: Chemische Berichte (1959), 92, 550-63
 CODEN: CHBEAM; ISSN: 0009-2940
 DOCUMENT TYPE: Journal
 LANGUAGE: Unavailable

AB cf. C.A. 51, 13853i. 4,5-Disubstituted imidazoles containing at least 1 aryl group yield with boiling PhNCO in addition to the 4,5-disubstituted imidazole-2-carboxanilides and (PhNH)₂CO (I) also 2-phenylimidazo[1,2-c]hydantoin which fluoresce in the solid state yellow to red depending on their color. Imidazoles react with aryl isocyanates in boiling PhNO₂ to yield imidazole-2-carboxylic acid N-arylamides. The reaction mechanisms, the ultraviolet absorption, fluorescence, and infrared spectra of the various compds. are discussed. 4,5-Diphenylimidazole (II) (44 g.) and 250 cc. PhNCO refluxed 28 hrs., the excess PhNCO distilled in vacuo up to 170°, the yellow, resinous residue digested with C₆H₆, and the crystalline product recrystd. from 1:1 CHCl₃-EtOAc yielded 31.5 g. anilide (III) of the 2-CO₂H derivative (IV) of II, needles, m. 221-2°; the mother liquor deposited slowly 5 g. I, m. 240-2°; the C₆H₆ extract evaporated in vacuo, the semisolid residue digested with 400 cc. 1:1 MeOH-Et₂O and filtered, and the filtrate evaporated gave 6 g. I; the filter residue recrystd. (EtOAc and then BuOH) gave 18.5 g. 2,5,6-triphenylimidazo[1,2-c]hydantoin (V), brilliant yellow needles, m. 207-8°. V (200 mg.) and 3 cc. PhNH₂ refluxed 15 min., cooled 1 hr. to room temperature, and filtered yielded 95 mg. I; the mother liquor evaporated yielded 97 mg. III. V (17 g.), 132 g. KOH, 800 cc. MeOH, and 132 cc. H₂O refluxed 0.5 hr., cooled overnight, and filtered, the residue dissolved in 300 cc. hot 15% HCl, the solution cooled, basified with aqueous NH₄OH, and filtered, and the residue dissolved in hot glacial AcOH and stirred into H₂O precipitated 6 g. IV, needles, m. 143-4° (decomposition) (30% aqueous EtOH). IV (1 g.) in 100 cc. absolute EtOH saturated with dry HCl, kept 3 days, poured into H₂O, basified with NH₄OH, and filtered gave 0.8 g. Et ester of IV, m. 197-200° (30% aqueous EtOH). IV (1.5 g.) refluxed 6 hrs. with 30 cc. SOCl₂, kept overnight, and filtered gave 0.6 g. 2,3,7,8-tetraphenyl-5,10-dioxodiimidazo[1,2-a; 1',2'-d]piperazine (VI), yellow crystals, did not melt below 350° (PhNO₂). VI (55 mg.) and 0.7 cc. PhNH₂ heated 10 min., kept overnight, and the precipitate filtered off gave 60 mg. III. II (11 g.) in 100 cc. dry PhNO₂ treated at 130° dropwise during 10 min. with 10 g. PhNCO and 10 cc. PhNO₂, refluxed 9 hrs., and cooled gave 13.8 g. III, needles, m. 221-2° (EtOH). III (3.4 g.) and 2.6 g. Me₂SO₄ in 20 cc. PhNO₂ heated 6 hrs. on the H₂O bath, cooled, diluted with 250 cc. Et₂O, and filtered, the residue shaken with a mixture of 100 cc. CHCl₃ and 100 cc. 20% aqueous NaOH, and the CHCl₃ layer worked up gave 1.8 g. 1-Me derivative (VII) of III, needles, m. 197-9° (EtOH). 1-Methyl-4,5-diphenylimidazole (8 g.) and 100 cc. PhNCO refluxed 16 hrs., the excess PhNCO distilled in vacuo, and the residue digested with EtOAc, filtered off, and recrystd. (EtOH) yielded 8.2 g. VII. 4(5)-Methyl-5(4)-phenylimidazole (VIII) (20 g.) and 170 cc. PhNCO refluxed 24 hrs., stored overnight, and filtered yielded 7 g. 5(6)-methyl-2,6(5)-diphenylimidazo[1,2-c]hydantoin (IX). pale yellow leaflets, m. 239-41° (BuOH). Et analog (8 g.) of VIII and 45 cc. PhNCO refluxed 20 hrs., the excess PhNCO distilled in vacuo, the residue dissolved in C₆H₆ and the solution filtered, the filtrate evaporated in vacuo, and the residue dissolved in EtOAc and allowed to evaporate in air gave 2.5 g. 5(6)-Et analog of IX, yellowish needles, m. 198-9° (BuOH).

p-Chlorobenzoin (20 g.) and 100 cc. HCONH₂ refluxed 4 hrs., kept overnight, and filtered, and the residue recrystd. [CH₂(CO₂Et)₂] yielded 13 g. 4(5)-phenyl-5(4)-(p-chlorophenyl)imidazole (XI), m. 238-40°. XI (10 g.) and 50 cc. PhNCO refluxed 22 hrs., the excess PhNCO distilled in vacuo, and the residue digested with C₆H₆, filtered off, and recrystd. (C₆H₆) yielded 6 g. 2-CONHPh derivative (XII) of XI, m. 192-4°; the C₆H₆ solution evaporated and the residue crystallized from EtOAc gave 1.2 g. 2,5(6)-diphenyl-6(5)-(p-chlorophenyl)imidazo[1,2-c]hydantoin (XIII), m. 226-8° (BuOH). p-Bromobenzoin (17 g.) and 100 cc. HCONH₂ refluxed 4 hrs. and cooled, and the crude product recrystd. [CH₂(CO₂Et)₂] yielded 9.2 g. p-Br analog (XIV) of XI, m. 240-2°. XIV (6 g.) and 50 cc. PhNCO heated 20 hrs. and evaporated, and the residue digested with 180 cc. C₆H₆ left 1.5 g. p-Br analog of XII, needles, m. 181-3° (cyclohexane); the filtrate evaporated, the residue dissolved in EtOAc, the solution evaporated in air, the residue digested with iso-Pr₂O, and the crude solid material recrystd. (BuOH) yielded 800 mg. p-Br analog of XIII, greenish yellow needles, m. 223-4°. 4(5)-Phenyl-5(4)-(p-dimethylaminophenyl)imidazole (15 g.) and 100 cc. PhNCO heated 23 hrs. and evaporated, and the residue digested with C₆H₆, filtered off, washed with C₆H₆, and recrystd. from PhMe yielded 8 g. p-Me₂N analog of XII, needles, m. 208-9°; the C₆H₆ filtrate evaporated and the residue crystallized (EtOAc) yielded 5.3 g. p-Me₂N analog of XIII, brilliant red needles, m. 232-4° (BuOH). 1-Et derivative (XV) (4.3 g.) of II and 50 cc. PhNCO refluxed 16 hrs., the excess PhNCO evaporated in vacuo, the residue dissolved in 30 cc. EtOAc, the solution filtered, and the filtrate evaporated gave 3.5

g.

2-CONHPh derivative of XV, leaflets, m. 141-3° (EtOH). II (20 g.) and 100 g. PhCH₂Br heated 24 hrs. on the H₂O bath and evaporated in vacuo, the resinous residue shaken with 250 cc. 20% aqueous NaOH and 200 cc. CHCl₃, the CHCl₃ layer evaporated, the residue dissolved in hot EtOH, the hot solution

diluted

with hot H₂O to incipient turbidity, cooled, and filtered, the filtrate diluted further with H₂O, the tacky precipitate dissolved in CHCl₃, and the

solution

diluted with Et₂O to turbidity and refrigerated several days gave 3.6 g.

4,5-diphenyl-1,3-dibenzyl-imidazolium bromide (XVI), leaflets, m.

211-13° [CH₂(CO₂Et)₂]. XVI (3 g.) and 30 cc. PhNCO refluxed 16

hrs. and evaporated gave 2.1 g. 1-PhCH₂ derivative of III, needles, m.

160-1° (EtOAc); also obtained from the 1-PhCH₂ derivative of II with

PhNCO. II (7 g.) and 5 g. p-O₂NC₆H₄NCO refluxed 6 hrs. in 50 cc. PhNO₂,

cooled overnight, and filtered yielded 9 g. p-nitroanilide of IV, yellow

leaflets, m. 316-19° (PhNO₂). II (4.4 g.) dissolved in 40 cc.

PhNO₂ at 100°, treated during 10 min. dropwise with 5 g. 1-Cl₁₀H₇NCO

(XVII), refluxed 6 hrs., stored 3 days, and filtered yielded 5.8 g.

1-naphthylamide (XVIII) of IV, needles, m. 239-41° (1:1

CHCl₃EtOAc). 1-Me derivative (3 g.) of II and 5 g. XVII in 50 cc. PhNO₂

refluxed 6 hrs. and evaporated gave 2.9 g. 1-Me derivative of XVIII, needles,

m.

180-1° (EtOH). 3-Phenylindole (5 g.) and 50 cc. PhNCO refluxed 20

hrs. and evaporated in vacuo, and the residue digested with C₆H₆ and the

solution

filtered gave 6 g. 3-phenylindole-2-carboxanilide, needles, m.

116-18° (EtOH or cyclohexane). 2,4-Diphenylpyrrole (4.4 g.) and 40

cc. PhNCO refluxed 20 hrs. and evaporated in vacuo gave 3.8 g. yellow needles,

m. 165° (C₆H₆), apparently containing a hydantoin ring.

2-Methyl-4(5)-phenylimidazole (XIX) (5 g.) and 7.5 cc. PhNCO refluxed 9

hrs. in 65 cc. PhNO₂, cooled, and filtered gave 4 g. 5(4)-CONHPh derivative of

XIX, needles, m. 240-2° (decomposition) (EtOH). Benzimidazole (6 g.)

and 10 cc. PhNCO in 100 cc. PhNO₂ refluxed 5 hrs. and worked up in the

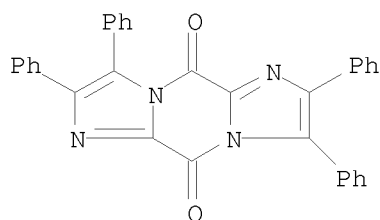
usual manner yielded 5.5 g. benzimidazole-2-carboxanilide, needles, m.

235-6°. Theophylline (20 g.) and 36 g. PhNCO refluxed to solution,

cooled, and filtered, and the residue boiled briefly with EtOH yielded 30

g. theophylline-7(9)-carboxanilide, m. 208° with gas evolution at 215-18°, resolidified at 220°, and remelted at 265° (theophylline). II (2 g.) and 3.5 cc. PhNCO allowed to stand 12 hrs. and filtered, and the residue washed with C6H6 gave 3 g. 1-CONHPh derivative of II, m. partially at about 115° (decomposition) (melt clear at 230°); the attempted recrystn. from C6H6 or PhMe gave only II. The ultraviolet, fluorescence, and infrared absorption maximum of the various compds. are tabulated.

IT 119925-84-7P, 5H,10H-Diimidazo[1,2-a:1',2'-d]pyrazine-5,10-dione,
2,3,7,8-tetraphenyl-
RL: PREP (Preparation)
(preparation of)
RN 119925-84-7 CAPLUS
CN 5H,10H-Diimidazo[1,2-a:1',2'-d]pyrazine-5,10-dione, 2,3,7,8-tetraphenyl-
(CA INDEX NAME)



=> log hold

COST IN U.S. DOLLARS

| | |
|------------|---------|
| SINCE FILE | TOTAL |
| ENTRY | SESSION |
| 47.44 | 226.47 |

FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

| | |
|------------|---------|
| SINCE FILE | TOTAL |
| ENTRY | SESSION |
| -6.40 | -6.40 |

CA SUBSCRIBER PRICE

SESSION WILL BE HELD FOR 120 MINUTES

STN INTERNATIONAL SESSION SUSPENDED AT 16:45:04 ON 12 MAR 2008